Access DB# 44171

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Andre Marschel Examiner #: 67345 Date: 6-12-01
Art Unit: 1631 Phone Number 308-3894 Serial Number: 08/973,381
Mail Box and Bldg/Room Location: CM1/12A11 Results Format Preferred (circle): PAPER/DISK/E-MAIL
(12D04)

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

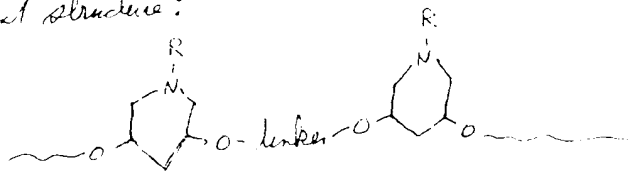
Title of Invention: Aminodiol oligomers

Inventors (please provide full names): Normand Hebert

Earliest Priority Filing Date: 6/7/96

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Please search for polymers containing the following chemical structure:



R = any substituent or H; preferably either a nucleobase or amino acid side chain

linker = any chemical linkage, preferably a phosphate or phosphodiester linkage

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 12C14 Tel: 305-4053

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: Hanley	NA Sequence (#)	STN
Searcher Phone #:	AA Sequence (#)	Dialog
Searcher Location:	Structure (#) 1	Questel/Orbit
Date Searcher Picked Up: 6/12	Bibliographic	Dr.Link
Date Completed: 7/5	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

PTO-1590 (1-2000)

inventor's work

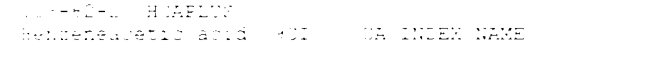
SEARCHED BY SUSAN HANLEY

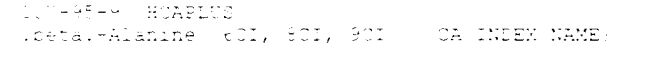
Page 1

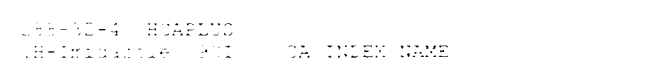
L ? ? ? ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS
AN [illegible] HCAPLUS
DN [illegible]
TI **Combinatorial libraries** having **aminodiol**
[illegible]
IN [illegible], D. [illegible]
PA [illegible] Pharmaceuticals, Inc., USA
SO [illegible], PCT Int. Appl., No pp. given
[illegible]
DT Patent
LA English
FAN.CNT 4
PATENT NO. KIND DATE APPLICATION NO. DATE
---------- ---- -------- ---------- --------
PI US [illegible] B1 [illegible] US [illegible] [illegible]
CA [illegible] AA [illegible] CA [illegible] [illegible]
WO [illegible] A1 [illegible] WO [illegible] [illegible]
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ,
DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA
AU [illegible] A1 [illegible] AU [illegible] [illegible]
EP [illegible] A1 [illegible] EP [illegible] [illegible]
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI
PRAI US [illegible] A2 [illegible]
US [illegible] A [illegible]
WO [illegible] W [illegible]
AB **Combinatorial libraries** are constructed to include
aminodiol monomer subunits connected by phosphodiester,
phosphorothioate, or phosphoramidate linking moieties.
Combinatorial libraries of the invention feature a
plurality of functional groups attached to backbone and phosphoramidate
combinatorial sites.
IT **51-35-4** **65-71-4**, Thymine **71-30-7**, Cytosine
73-24-5, Adenine, reactions **98-88-4**, Benzoyl chloride
103-82-2, Phenylacetic acid, reactions **107-95-9**,
3-Aminopropionic acid **112-47-0**, 1,10-Decanediol **288-32-4**
, Imidazole, reactions **534-03-2**, 2-Amino-1,3-propanediol
28920-43-6 **40615-36-9**
RL: ROT (Reactant)
(**combinatorial libraries** having **aminodiol**
monomer subunits)
RN **51-35-4** HCAPLUS
CN L-Proline, 4-hydroxy-, (4R - cis)- (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible] HCAPLUS
CN [illegible] 1H,3H -Pyrimidinedione, 5-methyl- (CA INDEX NAME)







RN 71-30-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino- (9CI) (CA INDEX NAME)

RN 73-24-5 HCAPLUS
CN 1H-Purin-6-amine (9CI) (CA INDEX NAME)

RN 98-88-4 HCAPLUS
CN Benzoyl chloride (8CI, 9CI) (CA INDEX NAME)

RN 103-82-2 HCAPLUS
CN Benzeneacetic acid (9CI) (CA INDEX NAME)

Ph-CH_2-CO_2H

RN 107-95-9 HCAPLUS
CN .beta.-Alanine (6CI, 8CI, 9CI) (CA INDEX NAME)

H_2N-CH_2-CH_2-CO_2H

RN 112-47-0 HCAPLUS
CN 1,10-Decanediol (8CI, 9CI) (CA INDEX NAME)

HO-$(CH_2)_{10}$-OH

RN 288-32-4 HCAPLUS
CN 1H-Imidazole (9CI) (CA INDEX NAME)

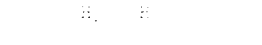
RN ... ... HOAFIUS
CN Ethanol, 2-[bis(4-methoxyphenyl phenylmethoxy]- (9CI) (CA INDEX NAME)

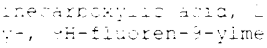
RN ... HOAFIUS
CN Butanedioic acid, mono[2-[bis(4-methoxyphenyl phenylmethoxy]ethyl] ester (9CI) (CA INDEX NAME)

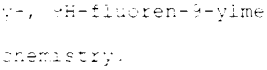
RN ... HOAFIUS
CN 1-Pyrrolidinol, 2-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

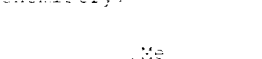
Absolute stereochemistry.

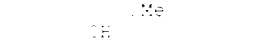
RN ... HOAFIUS
CN ... (4-hydroxy-2-oxo-1-pyrrolidinyl)methyl]-, (2R-trans)-(9CI) (CA INDEX NAME)











RN [illegible] HCAPLUS
CN [illegible] 2-amino-[illegible] bis(4-methoxyphenyl)phenylmethoxy]- [illegible] (CA INDEX NAME)

RN [illegible] HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-(hydroxymethyl)-, 9H-fluoren-9-ylmethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible]-11-1 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-4-hydroxy-, 9H-fluoren-9-ylmethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PR.INT ..
PF
1 ALLEN WC +1.1374 1987 HCAPLUS
2 AR EI W. +1.1331 1941 HCAPLUS
3 ALLEN WC +1.1[illegible] 1991 HCAPLUS
4 ALLEN WC +1.1[illegible] 1991 HCAPLUS
5 ALLEN WC +1.1[illegible] 1992 HCAPLUS
ALL CITATIONS AVAILABLE IN THE PF FORMAT

L# ACCESSION NUMBER

DN [illegible] HCAPLUS
TI [illegible]
SO [illegible]
[illegible] Macrocyclic and polymeric Schiff bases. Part [illegible] 1,3-Bis(salicylideneamino)propan-2-ol macrocycles and complexes: prototypical dynamic **combinatorial virtual libraries**
AU [illegible], Alexander; [illegible], Isidor; Evans, Benson
CS [illegible] Chemistry, Raymond and Beverly Sackler Faculty of Exact Sciences, Tel-Aviv University, Tel-Aviv, [illegible], Israel
SO Inorg. Chem., Int. Ed. [illegible], [illegible] (2), [illegible]
CODEN: ACIEF5; ISSN: [illegible]
PB Wiley-VCH Verlag GmbH
DT Journal
LA English

AB Reaction of 2-OHCC6H4OCH2CHOHCH2OC6H4CHO-2 with 1-1,4-diamino-2,3-butanediol and 1-1,3-diamino-2,4-butanediol gave macrocycles I and II, resp., in [illegible] yield. I existed in CDCl3 without its Schiff base ring-opened tautomers, even on heating, although heating in DMSO-d6 produced these tautomers. The analogous tautomers of II could not be produced. The effects of chelation on ring-chain tautomerism of II showed that Ni II , Cd II , and Pb II are complexed by different tautomeric forms, depending on the size of the cation. Condensation of 1,10-phenanthroline-2,9-dicarboxaldehyde with the above **diaminodiols** gave [2+2] macrocycles, which formed partly unbiased, isoenergetic dynamic **combinatorial libraries** over a wide temp. range.

IT 14280-50-3, Lead 2+ , reactions 14701-22-5, Nickel 2+ , reactions 22537-48-0, Cadmium 2+ , reactions 52118-10-2
57709-62-3, 1,10-Phenanthroline-2,9-dicarboxaldehyde
104769-25-7 302799-62-8
RL: RCT (Reactant)
dioxadiazadecalin salen tautomeric macrocycles and complexes and prototypical dynamic **combinatorial virtual libraries**

[illegible] HCAPLUS
[illegible] [illegible]-ethanediyl)bis oxy)bis- [illegible] CA INDEX NAME

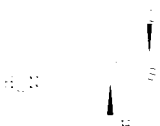
[illegible]

[illegible] HCAPLUS
[illegible] [illegible]-phenanthroline-2,9-dicarboxaldehyde [illegible] CA INDEX NAME

[illegible]

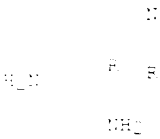
RN [illegible] HCAPLUS
CN 1,4-Butanediol, 1,4-diamino-, (S,S)- [illegible] CA INDEX NAME

Absolute stereochemistry.



RN [illegible] HCAPLUS
CN 1,2,3,4-Butanetetramine, (R,R)- [illegible] CA INDEX NAME

Absolute stereochemistry.

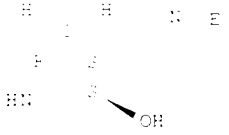


IT **302799-63-9P 302799-64-0P 302799-66-2P**
302799-68-4P 302799-69-5P
RL: PCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
dioxadiazadecalin/salen tautomeric macrocycles and complexes and prototypical dynamic **combinatorial** virtual **libraries**

RN [illegible] HCAPLUS
CN [illegible]-methoxy-3,6,15-trioxatricyclo[15.4.0.0(7,12)]henicosa-1(17),7(12),8,10,18,20-hexaene-9,11-dimethanol, (7R,8R,9S,11S,12R)-rel- [illegible] CA INDEX NAME

Absolute stereochemistry.
Double bond geometry as described by E or Z.

RN [illegible] HCAPLUS
TI [illegible]
[illegible] stereochemistry.
[illegible] bond geometry as shown.

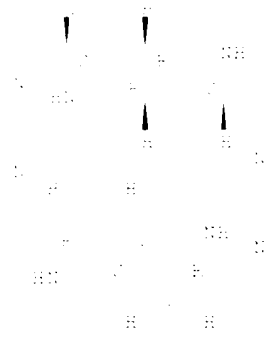


RN 50407-44-2 HCAPLUS
TI 5,8:9,12-Diepoxydibenzo[e,ol][1,4,8,13]dioxadiazacyclohexadecine,
1,4,7,8,9,10,11,12,15,16,17,18-dodecahydro-, (5R,8S,9S,12R)- PCI OA INDEX NAME

Absolute stereochemistry.

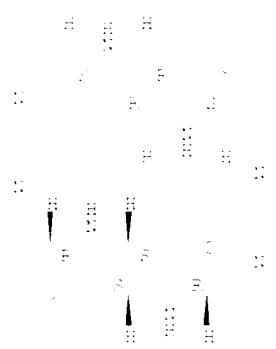
RN [illegible] HCAPLUS
TI [illegible]-Tetrahydro-1,3:14,17:18,21-tetraepoxy-
[illegible]tetraazatricyclo[19.4.1.1(7,11)]hexacosa[illegible]-
[illegible], [illegible]- PCI OA INDEX NAME

Absolute stereochemistry.



RN [illegible] HCAPLUS
CN [illegible]tetraethano-7,11:13,14:18,21-tetraimino[1,6,12,17]tetraoxacyclohexadecino[2,3-b:9,10-b':15,16-b'']tris[1,4]benzodioxepin-5,9,12,16,20,24,27,30-octadecahydro-,
[illegible]

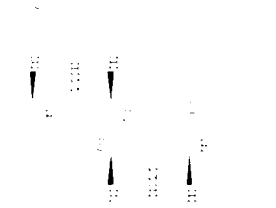
Absolute stereochemistry.



IT 302799-67-3P
[illegible] SPN (Synthetic preparation); PREP (Preparation
dioxadiazadecalin/salen tautomeric macrocycles and complexes and
prototypical dynamic **combinatorial** virtual **libraries**

RN 302799-67-3 HCAPLUS
CN 5H,12H-Dibenzo[e,o][1,4,8,13]tetraoxacyclohexadecin-5,9:8,12-diimine,
7,8,9,10,18,19-hexahydro-, (5R,8S,9S,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



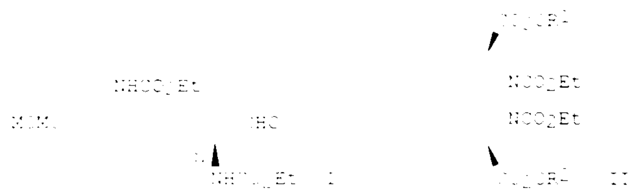
RE.CNT [illegible]
RE

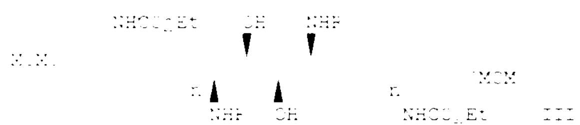
[illegible]

[illegible]

[illegible]

AN [illegible] HCAPLUS
DN [illegible]
TI [illegible] cycloolefins to linear C2-symmetrical 1,4-diamino-2,3-diol building blocks for [illegible]peptide mimetics, biocatalysis, and pinacol coupling of [illegible]alpha.-amino aldehydes
AU Armbruster, [illegible]; [illegible]owski, Stefan; [illegible], Thomas; [illegible], Horst
CS [illegible] Institut fur Organische Chemie, [illegible] der Universitat, Albertstrasse, Freiburg, D-79104, Germany
SO Angew. Chem., Int. Ed. [illegible], [illegible], 2242-224[illegible]
CODEN: A[illegible]; ISSN: 14[illegible]
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
GI





AB The linear, enantiomerically pure C-8 amino aldehyde I (n = 2) is prepd. by addn. of di-Et azodicarboxylate (DEAD) to 1,3-cyclooctadiene, [illegible]olysis, redn., and enzymic asymmetrization, by enzymic esterification of the meso diol II (R1 = R2 = H) to give monoacetate II (R1 = H, R2 = Ac). Enzymic hydrolysis of diacetate II (R1 = R2 = Ac) gave the enantiomeric monoacetate II (R1 = Ac, R2 = H). Lipozyme IM proved to be the enzyme of choice for both alternatives ([illegible] yield each, ee [illegible]). Stereoselective pinacol coupling of I (n = 2) and homolog I (n = 1) by [illegible]'s reagent ([V2Cl3(THF)6]2[Zn2Cl6]) gave adducts in [illegible] yields, with III (P = CO2Et) being the predominant isomers. In the case of I (n = [illegible]), [illegible] equiv of reagent (ca. 1 equiv of V2+) was sufficient to bring about total conversion; for total conversion of I (n = 1), a significant excess of reagent was needed ([illegible] equiv, ca. 4 equiv of V2+). From III (P = [illegible]Et), examples for potential **libraries** of structurally varied derivs., such as cyclic ureas and pseudotetrapeptides III (P = [illegible]-Val) are prepd.

IT **214549-56-1P**
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of linear sym. **diaminodiol** building blocks from [illegible] olefins and pinacol coupling of amino aldehydes)
RN [illegible] HCAPLUS
CN [illegible]

[illegible] stereochemistry. Rotation [illegible].

IT **214549-57-2P**
RL: BYP (Byproduct); PREP (Preparation)
prepn. of linear sym. **diaminodiol** building blocks from cyclic olefins and pinacol coupling of amino aldehydes
RN [illegible]-[illegible]-[illegible] (CAPLUS)
CN 1-Piperidinecarboxylic acid, 3-[(ethoxycarbonyl)amino]-2-hydroxy-6-[(methoxymethoxy)methyl]-, ethyl ester, (2S,3R,6R)-rel- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT **214549-86-7P**
RL: BYP (Byproduct); PREP (Preparation)
prepn. of linear sym. **diaminodiol** building blocks from cyclic olefins and pinacol coupling of amino aldehydes
RN [illegible]-[illegible]-[illegible] (CAPLUS)
CN 1-Piperidinecarboxylic acid, 3-[(ethoxycarbonyl)amino]-2-hydroxy-6-[(methoxymethoxy)methyl]-, ethyl ester, (2S,3R,6R)-rel- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT **1149-26-4** **1700-10-3**, 1,5-Cyclooctadiene
1972-28-7, Diethyl azodicarboxylate **89172-48-5**,
[illegible] reagent **183388-50-3** **214549-64-1**
RL: BYP (Byproduct); RCT (Reactant)
prepn. of linear sym. **diaminodiol** building blocks from cyclic olefins and pinacol coupling of amino aldehydes
RN [illegible]-[illegible]-[illegible] (CAPLUS)
CN [illegible]-Piperidinecarboxylic acid, 6-[(methoxymethoxy)methyl]-2-[illegible] (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L1 1 1 1

L2 1 1 1

L3 1 1-1,1-1 HCAPLUS
'M 1,1-Dihydroxybenzene <1/1, 2/1, 3/1> DA INDEX NAME

L4 1 1-1,1-1 HCAPLUS
'M 1,3-Benzenedicarboxylic acid, diethyl ester 3/1 DA INDEX NAME

L5 1 1 1 1

L6 1 1 1 1

L7 1 1-1,1-1 HCAPLUS
'M Tetrahydrofuran di-, tri-.mu.-chlorohexakis(tetrahydrofuran di-,
tri-.mu.-chlorotetrachlorodizincate (2-) 1/1 3/1 DA INDEX NAME

L8 1 1

IBN 4917-47-4
MF C24 H48 Cl3 O6 Y2
SR CA

L9 1 1

L10 1 1

L11 1 1

L12 1 1

L13 1 1

L14 1 1

L15 1 1

L16 1 1

L17 1 1

L18 1 1

L19 1 1

L20 1 1

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L22 1 1

L23 1 1

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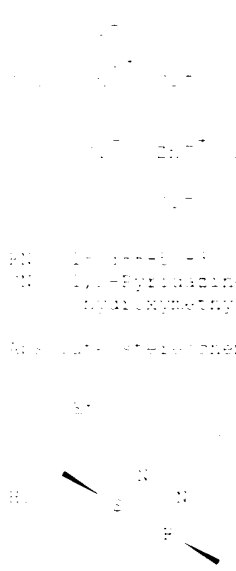
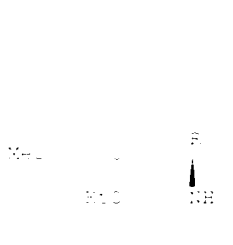


RN [illegible]-HCAPLUS
IN 1,4-Pyridinedicarboxylic acid, 3-[(acetyloxy)methyl]tetrahydro-4-[(hydroxymethoxy)methyl]-, diethyl ester, (3R,4S)- 9CI CA INDEX NAME

Absolute stereochemistry. Rotation +

RN [illegible]-HCAPLUS
IN L-Lysine, N2,N6-bis[(1S,4R)-4-formyl-4-[(methoxymethoxy)methyl]-1,4-butanediyl]-, diethyl ester 9CI CA INDEX NAME

Absolute stereochemistry. Rotation +



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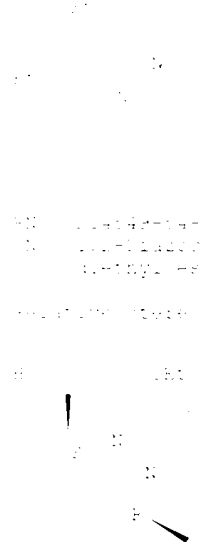
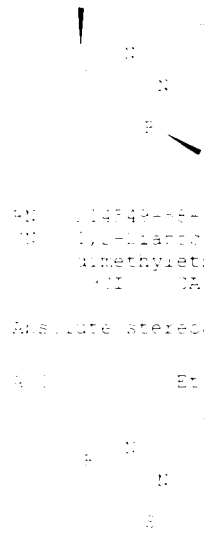
214549-81-2P 214549-82-3P 214549-83-4P
214549-84-5P 214549-85-6P
RL: PRT Reactant; SPN Synthetic preparation; PREP Preparation.
prepn. of linear sym. **diaminodiol** building blocks from
[illegible] olefins and pinacol coupling of amino aldehydes
RN [illegible]-HCAPLUS
IN [illegible]bicyclo[4.4.1]dec-9-ene-7,8-dicarboxylic acid, dimethyl ester [illegible] CA INDEX NAME



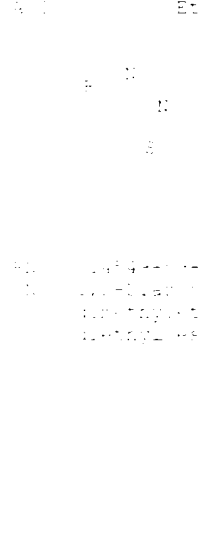
RN [illegible] HCAPLUS
IN [illegible]-1,2-dicarboxylic acid, hexahydro-3,6-bis[(trimethylsilyl)oxy]methyl]-, bis(1-methylethyl) ester, (3R,6S)-rel- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN [illegible] HCAPLUS
IN 1,2-Diazetidine-1,2-dicarboxylic acid, 3,6-bis[(acetyloxy)methyl]hexahydro-, dimethyl ester, (3R,6S)-rel- (9CI) (CA INDEX NAME)

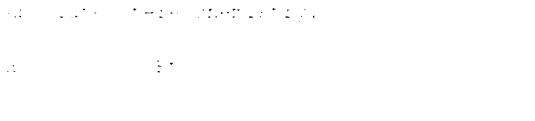
Absolute stereochemistry.

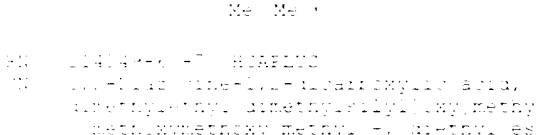


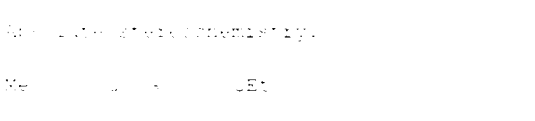
RN [illegible] HCAPLUS
IN 1,2-Diazetidine-1,2-dicarboxylic acid, 3-[(acetyloxy)methyl]-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]hexahydro-, diethyl ester, (3R,6S)-rel- (9CI) (CA INDEX NAME)

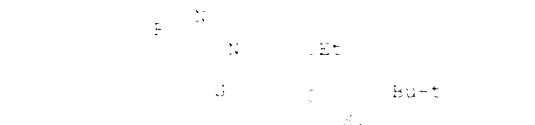
Absolute stereochemistry.

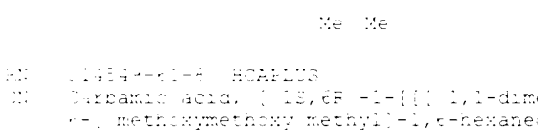
RN [illegible] HCAPLUS
IN [illegible]-1,2-dicarboxylic acid, [illegible]-1,1-dimethylethyl dimethylsilyl]oxy]methyl]hexahydro-, bis(1-methylethyl) ester, (3R,6S)-rel- (9CI) (CA INDEX NAME)

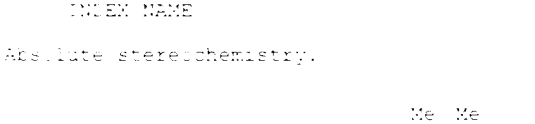


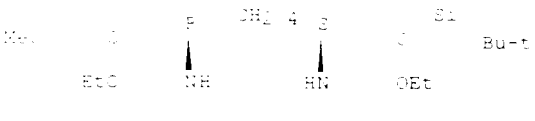


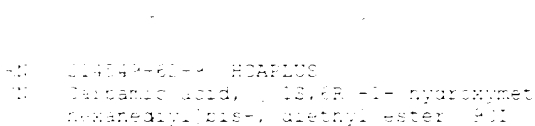


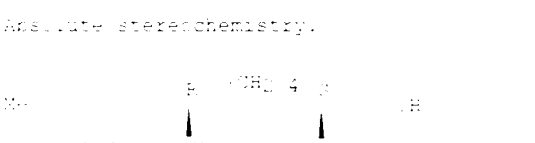


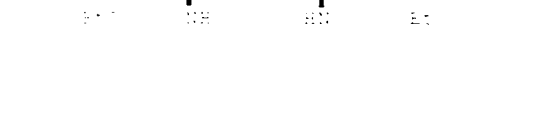


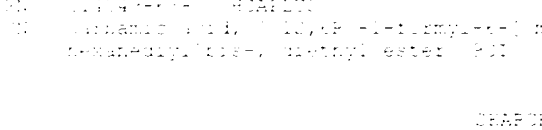








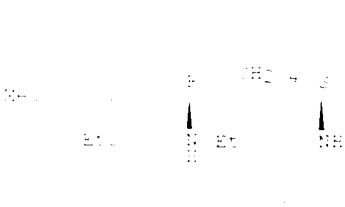




[illegible] stereochemistry. [illegible]

RN [illegible]
CN Carbamic acid, [(1R,6S,7R,8R,9S,14R -7,8-dihydroxy-1,14-
bis[(methoxymethoxy methyl]-1,6,9,14-tetradecanetetrayl]tetrakis-,
tetraethyl ester (9CI) (CA INDEX NAME

[illegible] stereochemistry.

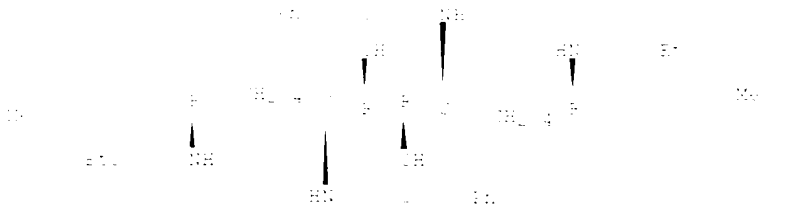


RN [illegible]
CN Carbamic acid, [(1R,6S,7R,8R,9S,14R -6,9-diamino-7,8-dihydroxy-1,14-
bis[methoxymethoxy methyl]-1,14-tetradecanediyl]bis-, diethyl ester (9CI
(CA INDEX NAME

Absolute stereochemistry.

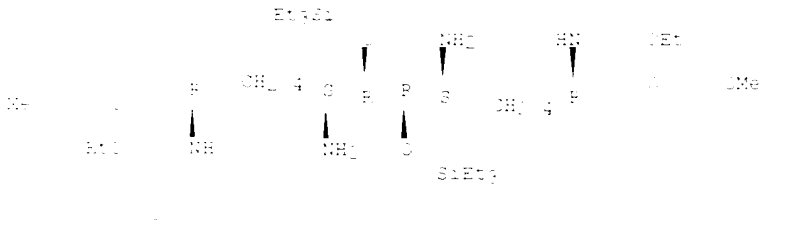
RN [illegible]
CN Carbamic acid, [(1S,2R,3R,4S -1,4-bis[5R.-5-[methoxycarbonyl amino]-6-
methoxymethoxy hexyl]-2,3-dihydroxy-1,4-butanediyl]bis-,
bis (phenylmethyl) ester (9CI) (CA INDEX NAME

Absolute stereochemistry.



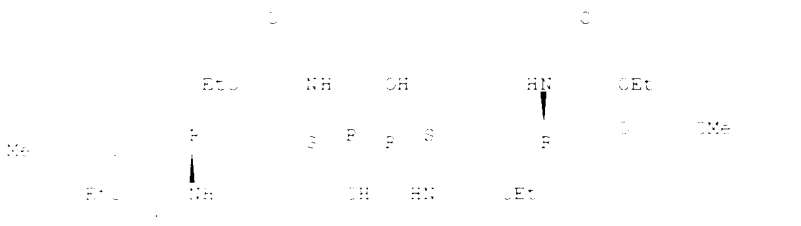
RN [illegible] HCAPLUS
IN [illegible] acid, 7 IP,4S,7P,8R,9S,14R -4,8-diamino-1,14-
bis[methoxymethoxy methyl,- ,7-bis[triethylsilyl oxy -1,14-
trioxo-2,6,13-trioxa-9,15-diaza- ctadecyl ester 4CI CA INDEX NAME

Absolute stereochemistry.



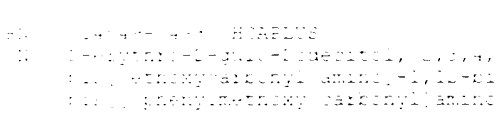
RN [illegible] HCAPLUS
IN L-erythro-D-gulo-Dodecitol, 2,3,4,5,6,7,10,11-octadeoxy-2,5,8,11-
tetrakis[ethoxycarbonyl amino]-1,11-bis-O-methoxymethyl - 4CI CA
INDEX NAME

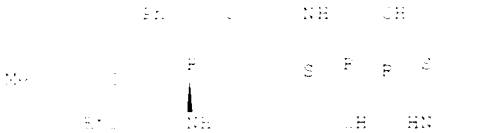
Absolute stereochemistry.

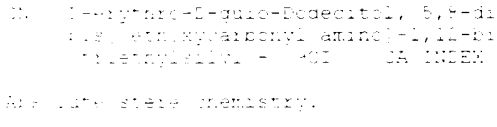


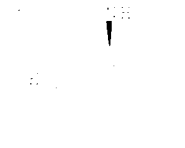
RN [illegible] HCAPLUS
IN L-erythro-L-gulo-Dodecitol, 2,7-diamino-2,3,4,7,8,9,10,11-octadeoxy-2,11-
bis[methoxycarbonyl amino]-1,11-bis-O- methoxymethyl - 4CI CA INDEX
NAME

Absolute stereochemistry.



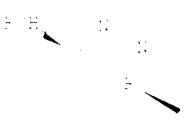






RN [illegible] HCAPLUS
TI 2,3-Pyridinedicarboxylic acid, 5-[(acetyloxy)methyl]-5-
    fluoro-4-thia-, diethyl ester, (3S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

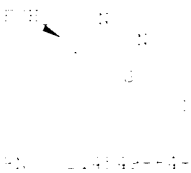


RN [illegible] HCAPLUS
TI 2,3-Pyridinedicarboxylic acid, 5-fluoromethyl tetrahydro-5-
    (hydroxymethyl)-, diethyl ester, (3S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible] HCAPLUS
TI 2,3,5-Pyridinetricarboxylic acid, 5-fluoromethyl tetrahydro-,
    2,3-diethyl ester, (3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

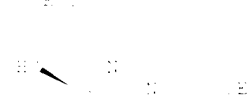


RN [illegible] HCAPLUS
TI 2,3-Pyridinedicarboxylic acid, 5-fluoromethyl tetrahydro-5-
    (hydroxymethyl)-, diethyl ester, (3S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

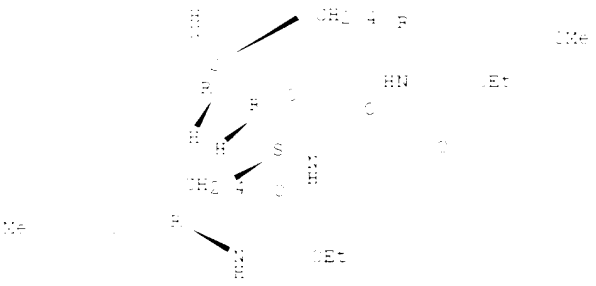
AN [illegible] HCAPLUS
TI [illegible]diaminediol[illegible] acid, [illegible]-bis(benzyloxy)[illegible]-, diethyl ester, (3S,7S)- (9CI) CA INDEX NAME

Absolute stereochemistry.



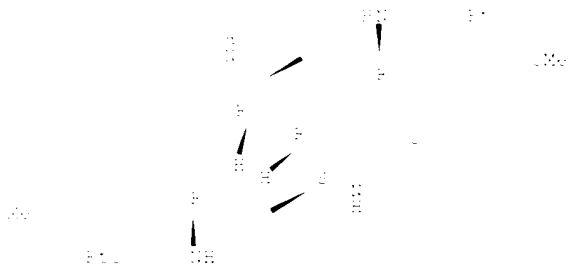
IT **214549-66-3P 214549-71-0P 214549-76-5P**
214549-77-6P 214549-78-7P 214549-80-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of linear sym. **diaminodiol** building blocks from cyclic olefins and pinacol coupling of amino aldehydes
RN [illegible]-66-3 HCAPLUS
CN L-Lysine, N,N'-[(4S,4'S,5R,5'R)-2,2'-dioxo[5,5'-bioxazolidine]-4,4'-diylbis[(1R)-1-[(methoxymethoxy)methyl]-5,1-pentanediyl]]bis-, diethyl ester (9CI) CA INDEX NAME

Absolute stereochemistry.



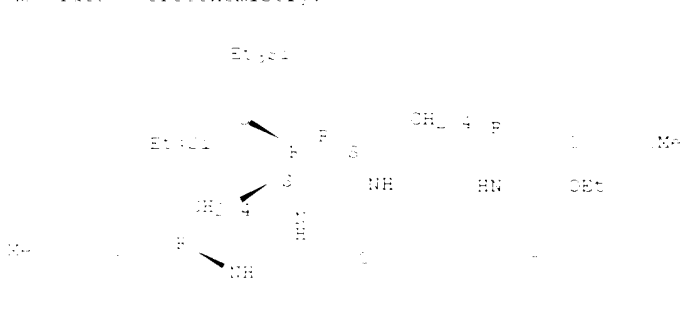
RN [illegible]-71-0 HCAPLUS
CN L-Lysine, N,N'-[(4S,4'S,5R,5'R)-2,2'-dioxo[5,5'-bioxazolidine]-4,4'-diylbis[(1R)-1-(methoxymethoxy)methyl]-5,1-propanediyl]]bis-, diethyl ester (9CI) CA INDEX NAME

Absolute stereochemistry.



-L . [U-4 -- x- HOAPLUS
L   'arbamic acid, ,, 4S,5R,6R,7S -hexanydro-,-oxo-5,6-bis(triethylsilyl oxy -
    ,H-,,-diazepine-4(-diyl bis(1R -1-(methoxymethoxy methyl -1,,-
    propanediyl bis , diethyl ester 9CI   CA INDEX NAME

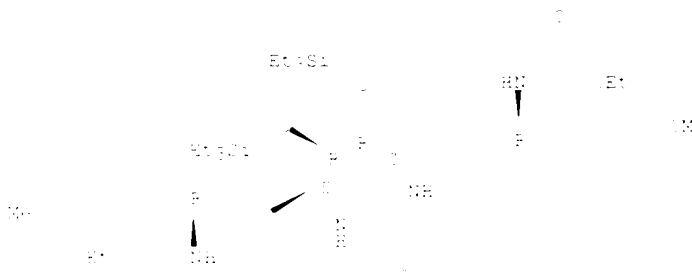
Absolute stereochemistry.



Et3Si CH2 4 R Me NH HN OBt OBt

R- .1454--""-4 HOAPLUS
'H 'arbamic acid, ,(4S,5R,6R,7S -hexanydro-,-oxo-5,6-bis(triethylsilyl oxy(-
    ,H-1,'-diazepine-4,'-diyl)bis(1R -1-(methoxymethoxy methyl(-3,1-
    propanediyl))bis-, diethyl ester .9CI   CA INDEX NAME

Absolute stereochemistry.

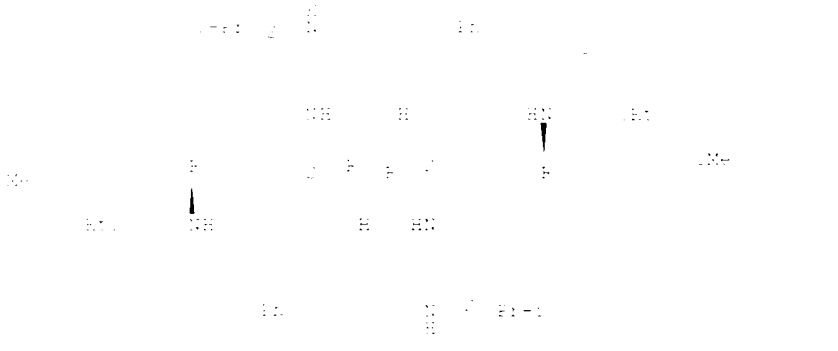


Et3Si HN Et Me Me NH

R- . (4-4 -- - HOAPLUS
'H (--ethyl- -,-dihydro -(1,mercapto-1, ,,5,6,7,8,9,9,9 ,11- ""ammoxy-,,,1-

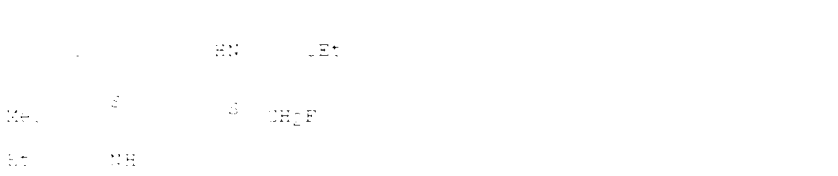
... with N-[(benzyloxy) carbonyl]-L-alanine - methoxymethyl ester, ... and ...-phenylpropanoyl)-L-alanine - methoxymethyl ester, ... and ... (9CI) INDEX NAME

... the stereochemistry.



... with N-[(benzyloxy) carbonyl]-L-alanine, N-[(1,1-dimethylethoxy) carbonyl]-L-alanine, ... ester, ... (9CI) INDEX NAME

... stereochemistry.



[illegible]

AN [illegible]
DN [illegible]
TI **Combinatorial libraries** having **aminodiol**
[illegible]
IN [illegible]
PA [illegible]
[illegible]
DT Patent
LA [illegible]
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
[illegible]	A1	[illegible]	WO [illegible]	[illegible]

RW: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

[illegible]	[illegible]	[illegible]	[illegible]
[illegible]	A1	[illegible]	AU [illegible]
[illegible]	A1	[illegible]	EP [illegible]
[illegible]	A1	[illegible]	EP [illegible]

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

[illegible]

[illegible]	[illegible]	[illegible]
[illegible]	A1	[illegible]
[illegible]	A1	[illegible]

[illegible]

[illegible]

[illegible]

[illegible]

AB **Combinatorial libraries** constructed to include **aminodiol** monomer subunits connected by phosphodiester, phosphorothioate, or phosphoramidate linking moieties were described. This, oligomeric compds. and **libraries** of such compds. comprising a plurality of **aminodiol** monomer subunits, e.g., I [P1 = Tl or a protective group; L = cyclic alkoxyl, aryl, heterocyclyl, etc.; P3,P4 = H, protective group, P(O)R, etc.; R = OH, mono- di alkylamino, etc.; T = none, CH2, -(CR6R7)mP5-[CR8R9]n-[CR10]pE-q-sic ; E,P5 = bond, NH, CH2, O, S(O)bond, C, O, NR11, etc.; P10 = O, S, NR11; P6-P9,R11 = H, halo alkyl, aryl, etc.; m,n = 0-5; p = 0 or 1; q = 1 to about 10 sic] linked by linking groups were claimed.

IT **51-35-4**, trans-4-Hydroxy-L-proline **65-71-4**, Thymine **71-30-7**, Cytosine **73-24-5**, Adenine, reactions **96-32-2**, Methyl bromoacetate **98-88-4**, Benzoyl chloride **103-82-2**, Phenylacetic acid, reactions **105-36-2**, Ethyl propiolate **107-95-9**, β-Aminopropionic acid **108-30-5**, reactions **112-35-6**, Triethylene glycol monomethyl ether **112-47-0**, 1,10-Undecanediol **288-32-4**, Imidazole, reactions **534-03-2**, 1-Amino-2,3-propanediol **624-49-7** **5437-45-6**, Benzyl bromoacetate **15496-36-3**, 4-Piperidinopropionic acid ethyl ester **24324-17-2**, 9-Fluorenylmethyl **28920-43-6** **40615-36-9**

RL: PRP (Properties)

combinatorial libraries having **aminodiol**

[illegible]

RN [illegible]

CN [illegible], 4-hydroxy-, (4R - [illegible] (9CI) (CA INDEX NAME)

RN [illegible] HCAPLUS
CN [illegible] ethyl ester (9CI, 8CI, [illegible]) (CA INDEX NAME)

[illegible] CH_2 [illegible]

RN 56-41-7 HCAPLUS
CN L-Alanine (9CI, 8CI, [illegible]) (CA INDEX NAME)

$H_2N-CH-CH_2-CO_2H$

RN 108-30-5 HCAPLUS
CN 2,5-Furandione, dihydro- (9CI) (CA INDEX NAME)

RN 112-35-6 HCAPLUS
CN Ethanol, 2-[2-(2-methoxyethoxy)ethoxy]- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO-CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-OMe$

RN 112-47-0 HCAPLUS
CN 1,10-Decanediol (8CI, 9CI) (CA INDEX NAME)

$HO-(CH_2)_{10}-OH$

RN 288-32-4 HCAPLUS
CN 1H-Imidazole (9CI) (CA INDEX NAME)

H
N

N

RN 534-03-2 HCAPLUS
CN 1,3-Propanediol, 2-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

NH_2

$HO-CH_2-CH-CH_2-OH$

RN 624-49-7 HCAPLUS
CN 2-Butenedioic acid (2E)-, dimethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN [illegible] HCAPLUS
CN [illegible], phenylmethyl ester (9CI) (CA INDEX NAME)

CH_2Br

RN [illegible] HCAPLUS
CN 2-Pyridineethanamine, N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

$CH_2-CH_2-NH-CH_2-CH_2$

RN [illegible] HCAPLUS
CN 9H-Fluorene-9-methanol (9CI) (CA INDEX NAME)

CH_2-OH

RN [illegible] HCAPLUS
CN Carbonochloridic acid, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

$CH_2-O-C-Cl$

RN [illegible] HCAPLUS
CN Benzene, 1,1'-[(chlorophenylmethylene]bis[4-methoxy- (9CI) (CA INDEX NAME)

MeO OMe

IT 20924-05-4P 22884-10-2P, 1H-Imidazole-1-acetic acid
25477-96-7P 26661-13-2P 35737-10-1P
88050-17-3P 110675-03-1P 143203-26-3DP, resin
[illegible] 143203-26-3P 154928-40-2P 168263-86-3P
171406-43-2P 171486-04-7P 171486-08-1P

171486-10-5P 171486-11-6P 172525-38-1P
172525-40-5P 172525-48-3DP, [illegible] 172525-84-7P
178113-42-3P 178113-43-4P 178113-44-5P
186429-52-7P 186429-53-8P 186429-54-9P
186429-55-0P 186429-56-1P 186429-57-2P
[illegible]
combinatorial libraries [illegible] **aminodiol**
[illegible]
[illegible] HCAPLUS
[illegible]
A INDEX NAME

[illegible]

[illegible] HCAPLUS
[illegible] A INDEX NAME

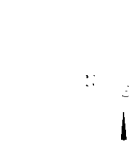
[illegible] HCAPLUS
[illegible] A INDEX NAME

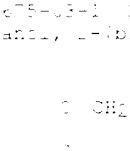
[illegible] HCAPLUS
[illegible] A INDEX NAME

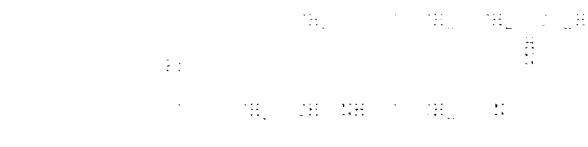
[illegible] HCAPLUS
[illegible] A INDEX NAME

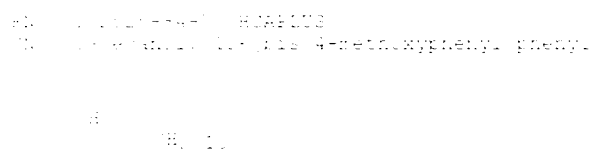
[illegible] HCAPLUS
[illegible] A INDEX NAME

[illegible] HCAPLUS
[illegible] A INDEX NAME

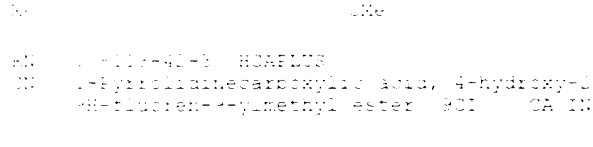








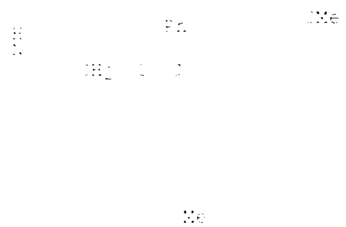
... HOAPLUS
... bis 4-methoxyphenyl phenylmethoxy - ... CA INDEX NAME



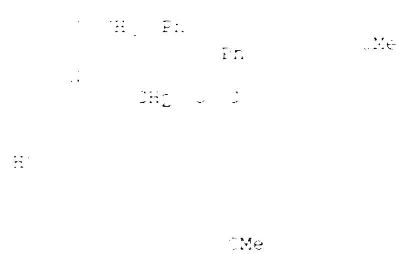
... HOAPLUS
...-hydroxy-1- hydroxymethyl -,
...-fluoren-...-ylmethyl ester ... CA INDEX NAME

... HOAPLUS
...-Pyrrolidinecarboxylic acid, 2-[[bis-4-methoxyphenyl phenylmethoxy]methyl
...-hydroxy-, ...H-fluoren-...-ylmethyl ester ... CA INDEX NAME

RN [illegible] HCAPLUS
CN [illegible]-Pyrrolidinol, [illegible]-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]- [illegible] (CA INDEX NAME)



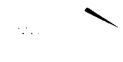
RN [illegible]429-[illegible] HCAPLUS
CN [illegible]-Pyrrolidinol, 5-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-1-(phenylacetyl)- [illegible] (CA INDEX NAME)



RN [illegible]429-[illegible] HCAPLUS
CN [illegible],[illegible],[illegible],11-Tetraoxatridecan-13-[illegible] acid, phenylmethyl ester [illegible] (CA INDEX NAME)

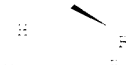
[illegible]

RN [illegible] HCAPLUS
CN [illegible], N,N-bis[2-(2-pyridinyl)ethyl]-, phenylmethyl ester [illegible] (CA INDEX NAME)



RN [illegible]
CN [illegible]-pyrrolidinecarboxylic acid, 3-hydroxymethyl-4-, 9H-fluoren-9-ylmethyl
ester, trans- (9CI) CA INDEX NAME

Relative stereochemistry.



RN [illegible]
CN 1-Pyrrolidinecarboxylic acid, 3,4-bis hydroxymethyl -,
9H-fluoren-9-ylmethyl ester, trans- (9CI) CA INDEX NAME

Relative stereochemistry.

RN [illegible]
CN 1-Pyrrolidinecarboxylic acid, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]
-4- hydroxymethyl -, 9H-fluoren-9-ylmethyl ester, trans- (9CI) CA INDEX
NAME

Relative stereochemistry.

[redacted]

[redacted]

[redacted]

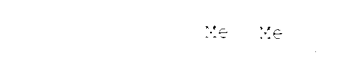
[redacted]

[illegible]

AN ACCUMAB [illegible] HCAPLUS [illegible] ACC
DN [illegible] HCAPLUS
TI [illegible]
SO [illegible]
    [illegible] Materials [illegible]
AU [illegible] Inamdar, Shakila; Rubin, Andrei P.
CS Department of Chemistry, University of Texas, [illegible], TX, USA
SO J. Am. Chem. Soc. [illegible], [illegible], 4[illegible]
    CODEN: JACSAT; ISSN: [illegible]
PB American Chemical Society
DT Journal
LA English
AB This paper describes a general approach to rapid generation and screening of catalytic materials on electrode surfaces. The properties of the resulting redox polymers, including catalytic performance, can be modulated by varying the monomer feed ratios, monomer choice, and applied polymn. potential. Thus, the generation of the polymeric TEMPO (2,2,6,6-tetramethylpiperidin-1-yloxy) catalysts was performed by electrochem. copolymn. of 2,2'-bithiophene with the TEMPO catalyst precursors contg. pyrrole side chains. A **library** of catalyst films was obtained over a wide range of bithiophene pyrrole ratios upon repeated scanning of the applied potential from +0.8 to +1.4 V vs. Ag/AgCl. The resulting catalyst films were used in both chem. and electrochem. oxidn. of primary alcs. to aldehydes.

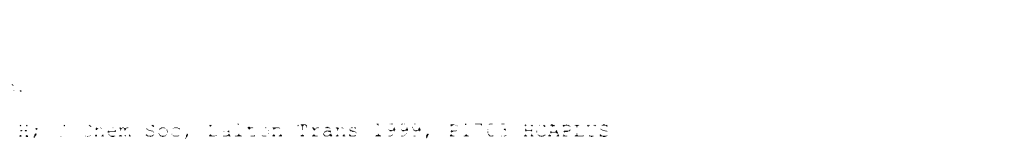
IT **320350-43-4P**
PU: CAT Catalyst use; PEP Physical, engineering or chemical process; PNU Preparation, unclassified; PRP Properties; PREP Preparation; PROC Process; USES Uses
    electrochem. prepn. and catalyst for oxidn. of primary alcs. to aldehydes
RN [illegible]-43-4 HCAPLUS
TN [1-piperidinyloxy, 2,2,6,6-tetramethyl-4-[[1-oxo-3-(1H-pyrrol-1-yl)propyl]amino]-, polymer with 2,2'-bithiophene] 9CI CA INDEX NAME

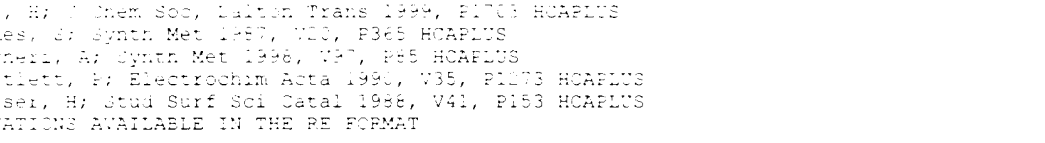
PN 1



IT [illegible]

IT **320350-44-5P**
PU: CAT Catalyst use; PEP Physical, engineering or chemical process; PNU Preparation, unclassified; PRP Properties; PREP Preparation; PROC Process; USES Uses
    [illegible] prepn. and electrocatalyst for [illegible] oxidn. of [illegible] to [illegible] aldehyde
RN [illegible] HCAPLUS



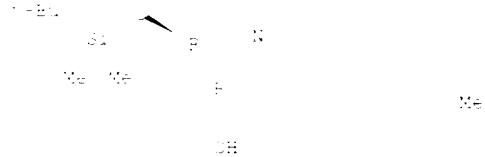


RE.INT
RE

(1) Alt, H; J Chem Soc, Dalton Trans 1999, P1707 HCAPLUS
(2) Armes, S; Synth Met 1987, V20, P365 HCAPLUS
(3) Baynell, A; Synth Met 1996, V78, P85 HCAPLUS
(4) Bartlett, P; Electrochim Acta 1990, V35, P1273 HCAPLUS
(5) Blaser, H; Stud Surf Sci Catal 1986, V41, P153 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L... ANSWER ... OF ... ACCESSION NUMBER ... COPYRIGHT ... ACS
TI ... Preparation ... ACCESSION
SO ... ...
DE ... ...-phase ... a **library** ... can be ... with ...
... ...
AU ... Lewis, Jaime A.; ... Stella, Stephen A.; Hewitt, William; Stankovic, Paul ...
... Wade, Bill ...
CS ... Ixis Therapeutics, a Division of Ixis Pharmaceuticals, Carlsbad, CA, ... U.S.A.
SO ... Molecular Diversity, ... , Volume Date 1998-1999, ... , ...
... CODEN: MODIAT; ISSN: ...
PB ... John Wiley & Sons, Inc.
... ...
LA ... English
... ... 241489-59-8P
AB ... A **combinatorial library** motif has been developed based ... orthogonally protected aminodiol scaffolds. Amine functionality was ... by ... available electrophiles including carboxylic acids, ... chlorides, isocyanates, and aldehydes. A hydroxyl moiety was ... to a carbamate linkage, allowing a variety of amines to be ... The scaffold was anchored to Tentagel at the second ... via a succinyl linker, which was hydrolyzed by mild aq. basic ... The method was used to make a **library** of about ... different members in mixts. of 5 per sample.
IT **241489-59-8P 241489-61-2P 241489-63-4P**
... RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
... solid-phase synthesis of a **library** of functionalized ... aminodiol scaffolds
RN ... 241489-59-8 HCAPLUS
CN ... 4-Piperidinol, 5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[(4-methoxyphenyl)methyl]-, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

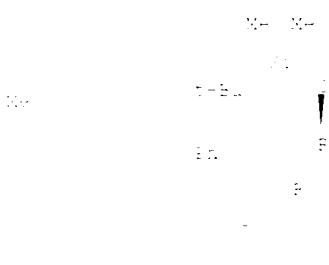


RN ... 241489-61-2 HCAPLUS
CN ... 1-Piperidinecarboxylic acid, 3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-hydroxy-, 9H-fluoren-9-ylmethyl ester, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

[illegible] HCAPLUS

[illegible] INDEX NAME

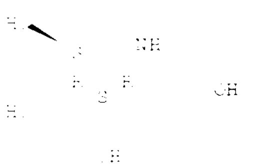


RE.CNT 15
RE
(1) Bohmann, M; J Org Chem 1995, V60, P5995 HCAPLUS
(2) Brennan, T; Biotechnol Bioeng 1998, V61, P33 HCAPLUS
(3) Cho, D; Science 1998, V281, P1303 HCAPLUS
(4) Dessy, J; Tetrahedron Lett 1995, V36, P549 HCAPLUS
(5) Hauske, J; Tetrahedron Lett 1995, V36(10), P1589 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

[illegible]

L[illegible] ANSWER [illegible] HCAPLUS [illegible]YRIGHT [illegible]
AN [illegible] HCAPLUS
DN [illegible]
TI [illegible] inhibition of .beta.-1,4- and .alpha.-1,3- [illegible]galactosyltransferases: [illegible]
AU [illegible], Chaozhi; Zhang, Jian [illegible]; [illegible], [illegible]
[illegible], [illegible], Armin; [illegible], [illegible] W.; [illegible]
[illegible]; [illegible], Gary; Wong, Chi-Huey
CS Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, [illegible], USA
SO Bioorg. Med. Chem. [illegible], [illegible], [illegible]
CODEN: BMECEP; ISSN: [illegible]
PB Elsevier Science Ltd.
DT Journal
LA English
AB A combined rational and **library** approach was used to identify bisphosphonates (IC[illegible] [illegible] .mu.M) and galactose type 1-N-iminosugar (IC[illegible] [illegible] .mu.M) as novel motifs for selective inhibition of .beta.-1,4-galactosyltransferase (.beta.-1,4-GalT) and .alpha.-1,3-galactosyltransferase (.alpha.-1,3-GalT), resp. Our results demonstrate that, though these two galactosyltransferases both utilize the same donor sugar-nucleotide (UDP-Gal), the difference in their mechanisms can be utilized to design donor sugar or nucleotide analogs with inhibitory activities selective for only one of the galactosyltransferases. Investigation of .beta.-1,4-GalT inhibition using UDP-2-deoxy-2-fluorogalactose (UDP-2-F-Gal), UDP, and bisphosphonates, also led to the observation of metal dependent inhibition of .beta.-1,4-GalT. These observations and the novel inhibitor motifs identified in this study pave the way for the design and identification of even more potent and selective galactosyltransferase inhibitors.
IT **108147-54-2**
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); BIOL (Biological study)
(selective inhibition of .beta.-1,4- and .alpha.-1,3-galactosyltransferases using a donor sugar-nucleotide based approach)
RN [illegible]147-[illegible] HCAPLUS
CN [illegible],4,5-Piperidinetriol, 2-(hydroxymethyl)-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT [illegible]
RE
(1) Alavi, A; Glycoconj J 1995, V12, P206 HCAPLUS
([illegible]) Andree, P; Biochemistry 198[illegible], V1[illegible], P[illegible]29 HCAPLUS
(4) Atack, J; J Pharm Exp Ther 1994, V27[illegible], P7[illegible] HCAPLUS
([illegible]) Babad, H; J Biol Chem 1966, V241, P[illegible]67[illegible] HCAPLUS
([illegible]) Bell, J; J Biol Chem 1976, V251, P3003 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN ... [illegible] HOSAKA

... [illegible]

TI Cyclic amines and their **combinatorial libraries**

IN Ankersen, Bill Edward; Davis, Peter William; Lindell, Robert Jay; Upadhaya, Pradip K.

PA Pfizer Pharmaceuticals, Inc., USA

... PCT Int. Appl., ... pp.

... CODEN: PIXXD2

... Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO [illegible]	A1	[illegible]	WO [illegible]	[illegible]

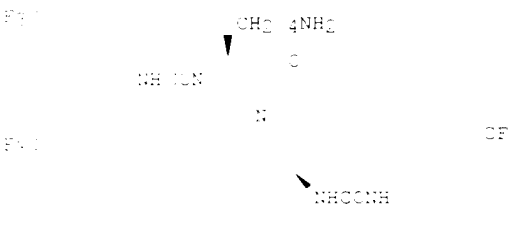
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, EA, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU [illegible]	A1	[illegible]	AU [illegible]	[illegible]
PRAI US [illegible]		[illegible]		
WO [illegible]		[illegible]		

... MARPAT [illegible]

RE



AB Monocyclic, bicyclic and oligomeric amines with at least two sites of diversity are formed from monocyclic scaffolds which can be cyclized to form bicyclic amine scaffolds. These can then be reacted with building ... to form the desired amines. **Libraries** of monocyclic, bicyclic and oligomeric amines are also prepd. The products have bactericidal activity, acting on phospholipases A2. Thus, the ... amine ... name ... inhibition of several strains of bacteria at ... mM.

IT **221137-91-3P 221137-93-5P**

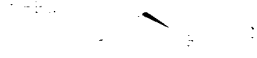
RL: PNU (Preparation); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

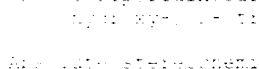
prepn. and bactericidal activity of ureidoalkanoylcyclohexanes

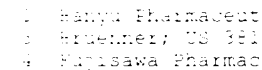
RN [illegible]-91-3 HCAPLUS

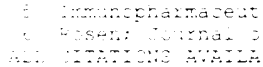
CN 4-Piperidinol, 5- [1,1-dimethylethyl dimethylsilyl)oxy]-1- 4-methoxyphenyl methyl]-, 3R - 4S - CA INDEX NAME

... [illegible] ether ... chemistry.









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RN   [illegible]   HCAPLUS
CN   1-Piperidinecarboxylic acid, [illegible] 1,1-dimethylethyl dimethylsilyl oxy [illegible]
     [illegible] 2-(trimethylsilyl)ethyl ester, [illegible] (CA INDEX NAME)

Absolute stereochemistry.
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RE.CNT
RE
 1   Banyu Pharmaceutical Co; EP 0544307 A1 1993 HCAPLUS
 3   Bruehner; US 3819561 A 1974 HCAPLUS
 4   Fujisawa Pharmaceutical Co; JP 02028151 A2 1988 HCAPLUS
 5   Immunopharmaceutics Inc; WO 9323404 A1 1993 HCAPLUS
 6   Rosen; Journal of Organic Chemistry 1988, V53(7), P1580 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

[illegible] HCAPLUS
[illegible]
TI [illegible] an eight-carbon homologue of .alpha.-homomannojirimycin via a bicyclic aminolactone
[illegible] amino acid moiety
AU [illegible] Marchel, Tina B.; Reilly, Pamela T.; Nash, Robert J.; Lloyd, Helen J.; Asano, Atsushi; Ana L.; Asano, Naoki; Fleet, George W. J.
[illegible] Dyson Perrins Laboratory, Oxford University, Oxford, UK. OX1 3TA, UK
SO Tetrahedron: Asymmetry (1999), 10(20), 4101-4104
[illegible] ISSN: 0957-4166
PB Elsevier Science Ltd.
DT Journal
LA English
[illegible] CAPLUS 131:158736
[illegible]

AB A thermally induced intramol. 1,3-dipolar cycloaddn. of an allylester and subsequent sodium cyanoborohydride redn. of the resulting bicyclic vinylogous urethane to give a bicyclic aminolactone allows access to an eight carbon homolog of .alpha.-homomannojirimycin I which is a weak fucosidase inhibitor. Intermediates with both an .alpha.- and .beta.-amino acid moiety are described and may be useful for incorporation of homopipecolic acids into novel peptide **libraries.**

.T **220309-41-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation
synthesis and fucosidase inhibition of an eight carbon homolog of .alpha.-homomannojirimycin via a bicyclic aminolactone
RN [illegible]-41-1 HCAPLUS
CN 3,4,5-Piperidinetriol, 2- (1-hydroxyethyl) -6- (hydroxymethyl) -, (2R,3R,4S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT [illegible]
RE
(1) Ahmad, N; Glycobiology 1997, V7, P1149 HCAPLUS
(2) Arnold, N; J Med Chem 1998, V41, P1068 HCAPLUS
(3) Asano, N; J Nat Prod 1994, V57, P1011 HCAPLUS
(4) Fleet, G; J Chem Soc Perkin Trans 1 1988, P1371 HCAPLUS
(5) Evans, D; Phytochemistry 1968, V14, P1010 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

... ...

L... ANSWER ... ... HCAPLUS COPYRIGHT .... ACS
AN ... HCAPLUS
TI ... ...
TI ... multichannel different polymer-based sensor elements and methods ...
... preparing same
IN ... Lewis, Nathan ...; Grubbs, Robert H.; Freemen, Brett; Daniel, Robert; ...
... Wells, Eric
PA ... California Institute of Technology, USA
... PCT Int. Appl., ... pp.
... CODEN: PIXXD2
DT ... Patent
LA ... English
FAN.CNT ...

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
...	...	...	...	...
PI ...	A1	...	WO ...	...
...	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
...	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
AU ...	A1	...	AU ...	...
EP ...	A1	...	EP ...	...
...	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI US ...	P	...		
WO ...	W	...		

AB The present invention provides a **combinatorial** approach for prepg. arrays of chem. sensitive polymer-based sensors which are capable of detecting the presence of a chem. analyte in a fluid in contact therewith. The described methods and devices comprise combining varying ratios of at least 1st and 2nd org. materials which, when combined, form a polymer or polymer blend that is capable of absorbing a chem. analyte, thereby providing a detectable response. The detectable response of the sensors prepd. by this method is not linearly related to the mole fraction of at least one of the polymer-based components of the sensors, thereby making arrays of these sensors useful for a variety of sensing tasks.

IT **89014-30-2D**, Poly piperidine , derivs.
RL: ANST (Analytical study); DEV (Device component use); ANST (Analytical study); USES (Uses)
analyte detection in fluid by sensor array based on polymer **combinatorial library**

RN ... HCAPLUS
CN ... Piperidine, homopolymer (9CI) ... CA INDEX NAME

... 1

CRN ...
CMF ...

...

RE.CNT ...
RE
... (1) Commissariat Energie Atomique; EP ... A ... HCAPLUS
... (2) Commissariat Energie Atomique; EP ... A ... HCAPLUS
... (3) Drawbridge AG; DE ... A ... HCAPLUS
... (4) Inst. Chem. Polymerik; DE ... A ... HCAPLUS
... (5) Lonergan, M; Chem Mater ... HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L-- ANSWER - OF 17 HCAPLUS COPYRIGHT 2003 ACS
AN [illegible] HCAPLUS
TI [illegible]
II Chemoenzymic synthesis of iminocyclitol derivatives: a useful
library strategy for the development of selective fucosyltransfer
enzymes inhibitors
AU [illegible]
CS [illegible]
SO [illegible]
AB A chemoenzymic strategy has been developed for the synthesis of
libraries of iminocyclitol derivs. for the discovery of new and
selective fucosidase inhibitors.
IT **194301-25-2**
RL: BAC (Biological activity or effector, except adverse); ROT (Reactant);
RCT (Reactant or reagent)
Chemoenzymic synthesis of iminocyclitol derivs., a useful
library strategy for the development of selective
fucosyltransfer enzymes inhibitors
RN [illegible] HCAPLUS
CN 3,4,5-Piperidinecarbonitrile, 3,4,5-trihydroxy-2- hydroxymethyl -6-methyl-,
(2R,3R,4R,5R,6S - [illegible] (CA INDEX NAME)

Absolute stereochemistry.

IT **194301-26-3P 219917-68-7P**
RL: BAC (Biological activity or effector, except adverse); ROT (Reactant);
SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation
Chemoenzymic synthesis of iminocyclitol derivs., a useful
library strategy for the development of selective
fucosyltransfer enzymes inhibitors
RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2-(aminomethyl)-2-(hydroxymethyl)-6-methyl-,
(2R,3P,4R,5R,6S - [illegible] (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible] HCAPLUS
CN [illegible] acid, 2- N-[(2-[illegible] (2R,3R,4R,5R,6S -3,4,5-trihydroxy-2-
hydroxymethyl -6-methyl-2-piperidinyl)methyl]amino ethyl)-, phenylmethyl
ester (9CI) (CA INDEX NAME)

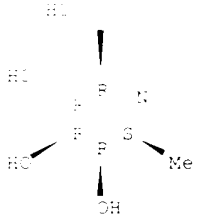
RL: ...the Other Chemicals...

IT **219917-65-4P 219917-66-5P 219917-67-6P**
RL: BAC (Biological activity or effector, except adverse ; BSU (Biological study, unclassified ; PREP (Preparation
...preparation ; BIOL (Biological study ; PREP (Preparation
...chemoenzymic synthesis of aminocyclitol derivs., a useful
library strategy for the development of selective
nucleosyltransfer enzymes inhibitors
RN ...219917-65-4 HCAPLUS
CN Acetamide, 2-amino-N-[[(2R,3R,4R,5R,6S -3,4,5-trihydroxy-6- hydroxymethyl -
...-methyl-2-piperidinyl]methyl]- (9CI) (CA INDEX NAME

RL: ...ute stereochemistry.

RN ...219917-66-5 HCAPLUS
CN 2-Piperidineacetaldehyde, 3,4,5-trihydroxy-2-(hydroxymethyl)-6-methyl-,
(2R,3R,4R,5R,6S)- (9CI) (CA INDEX NAME

RL: ...ute stereochemistry.



RN ...219917-67-6 HCAPLUS
CN Propanamide, 2-amino-3-hydroxy-N-[[(2R,3R,4R,5R,6S -3,4,5-trihydroxy-6-
(hydroxymethyl -2-methyl-2-piperidinyl]methyl]-, (2S -) (9CI) (CA INDEX
NAME

RL: ...ute stereochemistry.

RN 217298-94-7

library strategy for the development of selective

RN [illegible] HCAPLUS

CN [illegible], 2-methyl-1-(4,6-bis phenylmethoxy-1,3,5-triazin-2-yl) phenylmethoxy methyl-,

RE.CNT

RE

(1) Beadham, A; Tetrahedron Lett 1998, V39, P151 HCAPLUS

(2) Berkowitz, L; Tetrahedron Lett 1994, V35, P6445 HCAPLUS

(3) Deshpande, P; Nature 1997, V387, P164 HCAPLUS

(4) Flavt, G; J Chem Soc Chem Commun 1985, P841 HCAPLUS

(5) Flavt, G; Tetrahedron Lett 1988, V31, P4439 HCAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN ANSWER [illegible] HCAPLUS COPYRIGHT [illegible] ACS

TI Intermediates for incorporation of tetrahydroxypipecolic acids into [illegible] .alpha.- and .beta.-D-mannopyranose into **combinatorial libraries**: .beta.-Lactam derivatives as [illegible] .alpha.- and .beta.-mannopyranosyl-[illegible]

AU [illegible]; Nash, Robert J.; Lloyd, James D.; Watkin, Anna L.; Asano, Nobuo; Fleet, George W. J.

CS [illegible] Laboratory, Oxford University, Oxford, OX1 3QY, UK

SO Tetrahedron: Asymmetry (1998), 9(14), 2519-2530

CODEN: TASYE3; ISSN: 0957-4166

PB Elsevier Science Ltd.

DT Journal

LA English

CC CASREACT 130:111582

AB Aminosugars have the distinction as a class of natural products in that most of them have been synthesized before they were isolated. Syntheses of .alpha.- and .beta.-homomannopyrimycin rely on the stereoselective and chemoselective sodium cyanoborohydride redn. of a [3.3.0] bicyclic imine lactone to give a single [3.3.1] bicyclic amino-lactone. Methanolysis under basic conditions is accompanied by efficient epimerization of the first formed .alpha.-amino-ester to the more stable .beta.-amino-ester in which the 2,6-substituents are equatorial. Both the [3.3.1] bicyclic amino-lactone and the .beta.-amino-ester are suitable intermediates for the incorporation of tetrahydroxypipecolic acid derivs. into **combinatorial libraries** contg. .alpha.- and .beta.-glycosyl analogs of aza-D-mannopyranose, resp. Methyl amides are known to be specific and potent inhibitors of two .beta.-N-acetylglucosaminidases but have no effect on an .alpha.-N-acetylgalactosaminidase. The synthesis of .alpha.- and .beta.-manno-pipecolic acids is also reported.

IT **219589-69-2P 219589-71-6P 219589-72-7P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(intermediates for incorporation of tetrahydroxypipecolic acid analogs at mannopyranose into **combinatorial libraries**)

RN [illegible] HCAPLUS

CN 3-Piperidinecarboxamide, 2,4,5-trihydroxy-6- hydroxymethyl -N-methyl-, (2S,3R,4S,5R,6R - 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

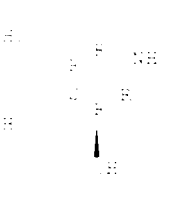
RN [illegible] HCAPLUS

CN 3-Piperidinecarboxamide, 2,4,5-trihydroxy-6- hydroxymethyl -N-methyl-, (2R,3R,4S,5R,6R - 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN [illegible] HCAPLUS
CN [illegible]piperidinecarboxylic acid, 3,4,5-trihydroxy-6- hydroxymethyl -,
    [illegible],3R,4S,5R,6R - 9CI CA INDEX NAME

Absolute stereochemistry. Rotation + .

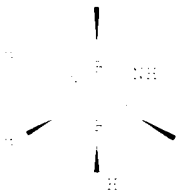


IT **127995-29-3P**, .alpha.-Homomannonirimycin **219589-70-5P**
    219589-83-0P
    RL: SPN Synthetic preparation; PREP Preparation
    intermediates for incorporation of tetrahydroxypipecolic acid analogs
    of mannopyranose into **combinatorial libraries**
RN 127995-29-3 HCAPLUS
CN 3,4,5-Piperidinetriol, 2,6-bis(hydroxymethyl -, 2R,3R,4R,5R,6R - 9CI CA
    INDEX NAME

Absolute stereochemistry. Rotation + .

RN [illegible] HCAPLUS
CN [illegible]piperidinecarboxylic acid, 3,4,5-trihydroxy-6- hydroxymethyl -,
    [illegible],3R,4S,5R,6R - 9CI CA INDEX NAME

Absolute stereochemistry. Rotation + .

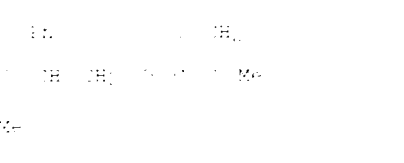


RE.CNT
RE
(1) Asano, M; J Med Chem 1998, V41, P1868 HCAPLUS
(2) Asano, M; J Nat Prod 1998, V61, P228 HCAPLUS
(3) Hallberg, Y; J Org Chem 1995, P3419 HCAPLUS
(4) Martorana, L; Tetrahedron:Asymmetry 1997, V8, P1471 HCAPLUS
(5) Rollins, E; Bioorg Med Chem Lett 1996, V6, P1419 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L[illegible] ANSWER [illegible] HCAPLUS COPYRIGHT [illegible] ACS
AN [illegible] HCAPLUS
DN [illegible]
TI [illegible] Soluble Supports Tailored for Organic Synthesis: Parallel Polymer Synthesis via Sequential Normal Living Free Radical Processes
AU [illegible] Emmons, Christa J.; Batra, Anita; Wentworth, Paul, Jr.; Janda, Kim D.
CS Department of Chemistry and The Skaggs Institute for Chemical Biology, Scripps Research Institute, La Jolla, CA, 92037, USA
SO J. Am. Chem. Soc. [illegible], [illegible], 9491-9495
DT [illegible]; ISSN: [illegible]
PB American Chemical Society
LA [illegible]
AB To expand the availability and soly. range of polymer supports for soln.-phase org. synthesis (SPOS), we have applied a sequential normal and "living" free radical polym. to generate a **library** of random copolymers possessing either block or graft architecture with initiators and a diverse set of vinyl monomers. The structure, mol. wt., and polydispersity (PD) of the individual **library** members have been detd. by size exclusion chromatog. (SEC), 1H and 13C NMR, and as a function of the soly. of each polymer in a range of solvents. One copolymer, polyBS-LS (Mn = 17 000, PD = 1.54) derived from 4-tert-butylstyrene (BS), 3,4-dimethoxystyrene (LS) has a soly. profile sol. in toluene, THF, THF/ether, acetone and methylene chloride (1%), insol. in methanol and water, that is different from the present polymer support for LPOS, polyethylene glycol (PEG), and was studied in stoichiometric as a new support in LPOS. The .alpha.-nitrile groups of polyBS-LS were reduced smoothly with LiAlH4 in THF to give the amino functionalized copolymer (0.14 mmol g-1 of amino groups based on a quant. ninhydrin anal.). Kinetic studies have revealed that derivatization of the amino groups of the copolymer with 4-dimethylaminocinnamaldehyde occurs at a comparable rate to a soln. counterpart (kpoly[1] = 0.49 L mol-1 h-1 vs 6-aminohexane = 0.69 L mol-1 h-1). Following reaction with N-glutaroyl-(2S,4S)-4-diphenylphosphino-2-[(diphenylphosphino)methyl]pyrrolidine and exchange of Rh(I), the resulting phosphine contg. copolymer, catalyzes the enantioselective hydrogenation of 2-N-acetamidoacrylic acid to N-acetylalanine in THF. An 87% enantiomeric excess (ee) of (S)-N-acetylalanine is obtained, comparable to that obsd. with a homogeneous phosphine ligand. This work highlights the power of a parallel polymer synthesis strategy, from conception to application, for the generation of polymers possessing unique soly. profiles and functionality which can serve as novel supports in LPOS.
IT **213994-83-3P 213994-85-5P 213994-88-8P**
213994-90-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(parallel polymer prepn. via sequential normal living free radical polymn.)
RN [illegible]-89-3 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, 2-phenyl-2-[(2,2,6,6-tetramethyl-1-piperidinyl)oxy]ethyl ester, polymer with ethenylbenzene and 4-ethenyl-1,2-dimethoxybenzene, graft (9CI) (CA INDEX NAME)

PAGE 1

RN [illegible]-57-1
MF [illegible]



CM [illegible]

RN [illegible]
MF [illegible]

Me

Me

CH CH2

CM [illegible]

RN [illegible]
MF [illegible]

H2C CH Ph

RN 11?44-?6-6 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, 2-phenyl-2-[(2,2,6,6-tetramethyl-1-piperidinyl)oxy]ethyl ester, polymer with 4-ethenyl-1,2-dimethoxybenzene (9CI) (CA INDEX NAME)

CM 1

CRN 11?44-57-1
CMF C21 H31 N O3

Ph O CH2
Me Me
O CH CH2 O C C Me
N
Me
Me

CM 2

CRN 638?-23-0
CMF C10 H12 O2

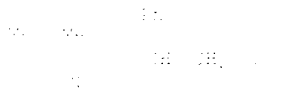
OMe
MeO

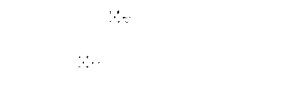
CH CH2

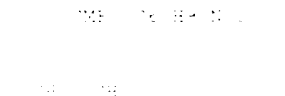
RN 11?44-??-? HCAPLUS
CN 2-Propenoic acid, 2-methyl-, 2-phenyl-2-[(2,2,6,6-tetramethyl-1-piperidinyl)oxy]ethyl ester, polymer with 1-ethenyl-2-pyrrolidinone (9CI) (CA INDEX NAME)

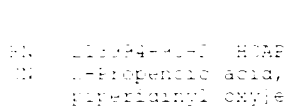
CM 1

CRN 11?44-57-1
CMF C21 H31 N O3

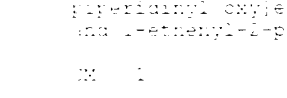


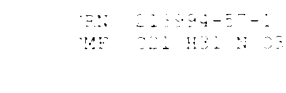


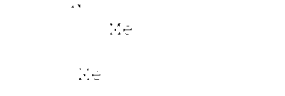


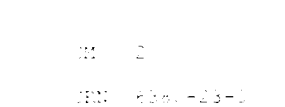


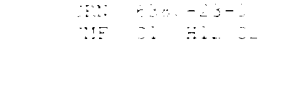
RN 121994-57-1
CN 2-Propenoic acid, 2-methyl-, 2-phenyl-2-[(2,2,6,6-tetramethyl-1-piperidinyl)oxy]ethyl ester, polymer with 4-ethenyl-1,2-dimethoxybenzene and 1-ethenyl-2-pyrrolidinone, graft [ACI] [DA INDEX NAME]

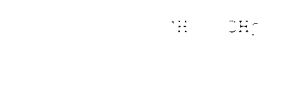












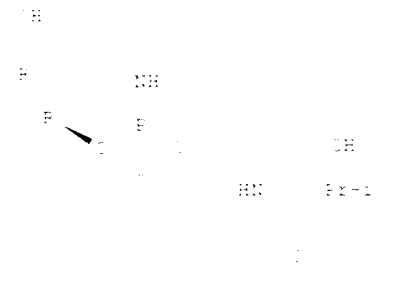
L[illegible]

L[illegible] ANSWER [illegible] OF [illegible] HCAPLUS COPYRIGHT [illegible] ACS
AN [illegible] HCAPLUS
TI Apparatus and method for solid-phase synthesis of chem. **libraries** using multidimensional movable arrays
IN Brennan, Thomas A.
PA Irori, USA
SO PCT Int. Appl., [illegible] pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT [illegible]

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO [illegible]	A1	[illegible]	WO [illegible]	[illegible]
W: AT, AU, CA, CN, CR, CU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US [illegible]	A	[illegible]	US [illegible]	[illegible]
AU [illegible]	A1	[illegible]	AU [illegible]	[illegible]
EP [illegible]	A1	[illegible]	EP [illegible]	[illegible]
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
[illegible]	A	[illegible]		
W [illegible]	W	[illegible]		

AB A combi. synthesis app. is described for building chem. compds. including a top assembly having an array of movable nozzles coupled to reservoirs of liq. reagents and a base assembly having an array of reaction wells. A transport mechanism aligns selected nozzle columns in the X-direction, and independently controllable sliders move nozzle columns in the Y-direction. The first sliding seal and the plurality of second sliding seals form enclosed reaction wells while permitting reagent delivery. A gas inlet and outlet sweep away fumes emitted by reagents. Methods of compd. synthesis from chem. components are also provided. The app. permits the synthesis of chem. **libraries**.
IT **211571-40-3P 211571-41-4P 211571-42-5P**
211571-43-6P 211571-44-7P 211571-45-8P
211733-81-2P 211733-82-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of chem. **libraries** using multidimensional movable arrays)
RN [illegible]-41-4 HCAPLUS
CN Phosphoramidic acid, cyclopropyl-, 3-hydroxy-2-[(2-methyl-1-oxopropyl)amino]propyl 3R,5R -5-hydroxy-1-(phenylmethyl)-3-piperidinyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

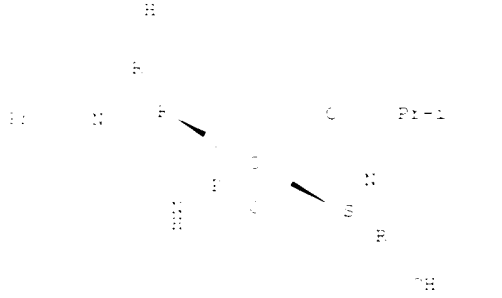


RN [illegible]-41-4 HCAPLUS
CN Phosphoramidic acid, cyclopropyl-, 2-[(1-hydroxyethyl)-2-methyl-1-oxopropyl amino]ethyl 3R,5R -5-hydroxy-1-(phenylmethyl)-3-piperidinyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

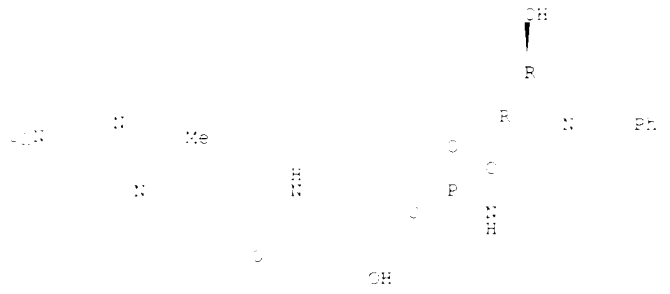
RN 111671-41-1 HCAPLUS
CN Phosphoramidic acid, cyclopropyl-, [(2R,4S -4-hydroxy-1- (2-methyl-1-
(4-pyridinylmethyl [3S,5S -5-hydroxy-1- phenylmethyl -3-
piperidinyl ester, rel- (9CI) (CA INDEX NAME

Relative stereochemistry.



RN 111671-41-6 HCAPLUS
CN Phosphoramidic acid, cyclopropyl-, 3-hydroxy-2-[(3- 2-methyl-4-nitro-1H-
imidazol-1-yl -1-oxopropyl]amino]propyl 3R,5R -5-hydroxy-1- phenylmethyl -
4-piperidinyl ester, rel- (9CI) (CA INDEX NAME

Relative stereochemistry.

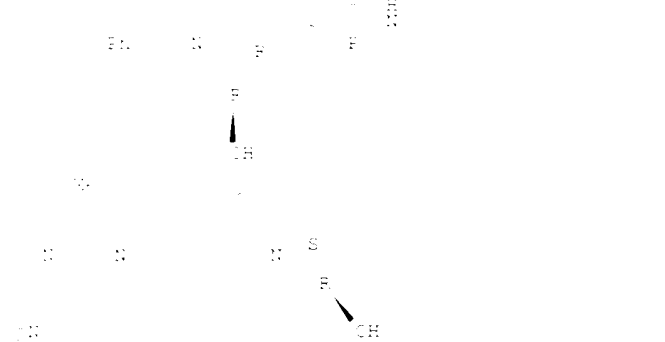


RN 111671-44-9 HCAPLUS
CN Phosphoramidic acid, cyclopropyl-, 2-[2-hydroxyethyl (3- 2-methyl-4-nitro-
1H-imidazol-1-yl -1-oxopropyl]amino]ethyl 3R,5R -5-hydroxy-1-
phenylmethyl -4-piperidinyl ester, rel- (9CI) (CA INDEX NAME

Relative stereochemistry.

RN [illegible] HCAPLUS
IN [illegible] Phosphoramidic acid, cyclopropyl-, [4- hydroxymethyl-1-(1-methyl-1H-imidazol-2-yl -1- (3-propyl-3-pyrrolidinyl)methyl 3R,5R -5-hydroxy-1- phenylmethyl -3-piperidinyl ester, rel- 9CI (CA INDEX NAME)

Relative stereochemistry.



RN [illegible] HCAPLUS
IN [illegible] Phosphoramidic acid, cyclopropyl-, [4- hydroxymethyl-1-(1-methyl-1H-imidazol-2-yl -1- (3-propyl-3-pyrrolidinyl)methyl 3R,5R -5-hydroxy-1- phenylmethyl -3-piperidinyl ester, rel- 9CI (CA INDEX NAME)

Relative stereochemistry.

AN [illegible]
[illegible]
[illegible]

TI Novel 3,4-synthons of thiol intermediates. In the case of functionalized polythiophenes equipped of adequate linkers allowing a fully reversible [illegible] with a fully regenerated thiol group

AU Pillard, V. P.; Marchand, G.; Jimenez, J.
CS Laboratoire d'Electrochimie Moleculaire et Macromoleculaire, UMR [illegible], Universite de Rennes 1, Rennes, 35042, Fr.

SO Tetrahedron Lett., 54 52, 7111-7114
CODEN: TETRAB; ISSN: [illegible]
PB Elsevier Science Ltd.
DT Journal
LA English

AB Functionalized polythiophenes were evaluated as **Merrifield**-like resins for the anchoring of amine functions together with purification processes. Suitable linkers were terminated with a fully regenerable thiol sulfonamide moiety. The S-N bond was [illegible] to liberate the amine with high selectivity under very mild conditions by [illegible] means with amine liberation. Moreover a family of [illegible] the [illegible] allows the further grafting of various amine functions.

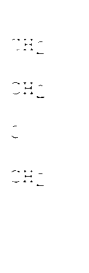
IT **212262-29-8P**
PL. JPN Synthetic preparation ; PREP Preparation
preph. of polythiophene-supported amines by cleavage of corresponding sulfonamide derivs.

RN [illegible]-04-8 HCAPLUS
CN Piperidine, 1-[[4-[(2- [illegible]-3-thienyl ethoxy)methyl]phenyl]sulfonyl]-, homopolymer (9CI) CA INDEX NAME

CM 1

CRN [illegible]-20-9
CMF C16 H23 N O3 S2

TABLE 1-A



[illegible]

[illegible]
[illegible]
[illegible]
TI [illegible] photographic films developable with developer-free activator [illegible]
[illegible]
IN [illegible]
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, [illegible] pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT [illegible]

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
[illegible]	A2	[illegible]	JP [illegible]	[illegible]

[illegible]

[illegible] NH OH [illegible]

[illegible]

AB In the films, reducing agents of hydrazine derivs. [illegible] carbamoyl, acyl, alkoxycarbonyl, aryloxycarbonyl; [illegible] = at. groups forming unsatd. rings are included in coupler-contg. layers, and layer A contg. precursors of developing agts., layer B contg. anionic-group-having macromols., and layer C contg. mordants are arranged in A/B/C oder. The couplers generate diffusible dyes. The films show excellent storage stability and provide high-S. images.
IT **108265-62-9**
RL: TEM (Device component use); USES (Uses)
Ag halide photog. films developable with developer-free activator solns. at **high throughput**
RN [illegible]-62-9 HCAPLUS
CN Piperidinium, 1-[(ethenylphenyl methyl]-1-methyl-, chloride, polymer with diethenylbenzene and ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN [illegible]-24-6
MF [illegible] N . Cl
CI IDS
[illegible]

L[illegible]

AU [illegible]
CS [illegible]
TI Applications of electrospray mass spectrometry in the rapid assay of enzyme inhibitors
AU Ayanegui Tanayama, Shuichi; Wong, Chi-Huey; Pinto, Gary
CS Dept. Chem. and Molecular Biology, Scripps Inst. Chem. Biology, Scripps Res. Inst., La Jolla, CA, 92037, USA
SO Chem. Biol. (1997), 4(4), 285-295
CODEN: CBIOEL; ISSN: 1074-5521
DT Journal; General Review
LA English
AB Background: **Combinatorial** chem. has become an important method for identifying effective ligand-receptor binding, new catalysts and enzyme inhibitors. In order to distinguish the most active component of a **library** or to obtain structure-activity relationships of compds. in a **library**, an efficient quant. assay is crucial. Electrospray mass spectrometry has become an indispensable tool for qual. screening **combinatorial libraries** and its use for quant. analysis has recently been demonstrated. Results: This paper describes the use of quant. electrospray mass spectrometry for screening **libraries** of inhibitors of enzymic reactions, specifically the enzymic glycosylation by .beta.-1,4-galactosyltransferase, which catalyzes the transfer of galactose from uridine-5'-diphosphogalactose to the 4-position of N-acetylglucosamine .beta.OBn. Butbenzene to form N-acetyllactosamine .beta.OBn. Our mass spectrometric screening approach showed that both nucleoside diphosphates and triphosphates inhibited galactosyltransferase while none of the nucleoside monophosphates, including uridine-5'-monophosphate, showed any inhibition. Addnl. **libraries** were generated in which the concns. of the inhibitors were varied and, using mass spectrometry, uridine-5'-diphosphate-L-deoxy-L-fucogalactose was identified as the best inhibitor.
IT **198691-35-9**
RL: ANT (Analyte ; BAC (Biological activity or effector, except adverse ; ANST (Analytical study ; BIOL (Biological study
quant. electrospray mass spectrometry for the rapid assay of enzyme inhibitors
RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2- hydroxymethyl -6-methyl-, (2.alpha.,3.beta.,4.alpha.,5.alpha.,6.alpha.)- (9CI) (CA INDEX NAME

Relative stereochemistry.

[illegible]

L[illegible] ANSWER 14 OF 1[illegible] HCAPLUS COPYRIGHT 2001 ACS
AN [illegible] HCAPLUS
DN [illegible]
TI Preparation of hydroxyethylamine core structures as HIV and FIV protease inhibitors
IN Wong, Chi-Huey; Slee, Deborah H.; Laslo, Karen
PA Scripps Research Institute, USA; Wong, Chi-Huey; Slee, Deborah H.; Laslo, Karen
SO PCT Int. Appl., [illegible] pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 97[illegible]	A1	19970612	WO 1996-US19571	19961209
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 22[illegible]	AA	19970612	CA 1996-2238[illegible]	19961209
AU 9712644	A1	19970627	AU 1997-12644	19961209
AU 72[illegible]373	B2	20010111		
EP 873514	A1	19981028	EP 1996-943657	19961209
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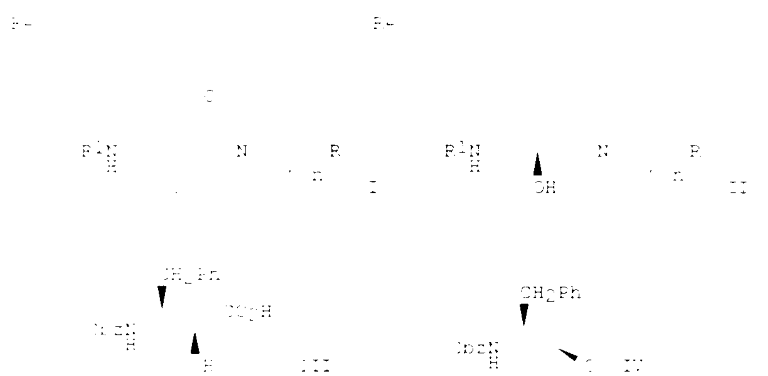
JP 2000502332	T2	20000229	JP 1997-521485	19961209

PRAI US 1995-568532 A2 19951207
WO 1996-US19571 W 19961209
OS MARPAT 127:81793
GI



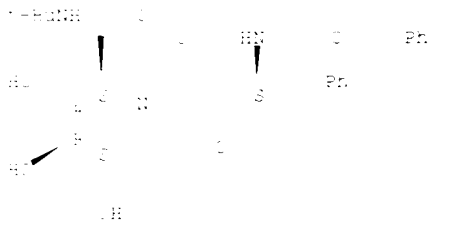
AB **Combinatorial libraries** of HIV and FIV protease inhibitors are characterized by .alpha.-keto amide or hydroxyethylamine core structures I and II (n = 1, 2; R = one or more groups CONHCMe3, CH2OH, CH2OMe, CH2OCH2Ph, OH, OCH2Ph, C1-4 alkoxy, optionally nitro-substituted 2-, 3-, or 4-MeC6H4CH2O, 2,3- or 3,4-methylenedioxyphenylmethoxy, etc.; R1 = PhCH2OCO (Cbz), Me3COCO (Boc), acyl; R2 = H, HO, PhCH2O, C1-4 alkoxy, optionally nitro-substituted 2-, 3-, or 4-Me C6H4CH2O, 2,3- or 3,4-methylenedioxyphenylmethoxy) flanked by

[illegible] the [illegible] by substituted pyrrolidines, piperidines, [illegible] and [illegible] side by side. Typical substituted pyridines, [illegible] **libraries** are synthesized via [illegible] of the multi-well [illegible] plate with bead by addition of [illegible] while [illegible] a chemical coupling with [illegible] Finally, [illegible] that candidates are identified by screening the **libraries** [illegible] against cell [illegible] activity against both HIV and FIV protease. [illegible] candidates displaying [illegible] activity against both HIV and FIV [illegible] are identified as being potentially resistive against [illegible] inhibitory activity due to development of resistant strains of HIV.

IT **191850-51-8P 191850-52-9P 191850-63-2P**
191850-64-3P 191850-65-4P 191850-66-5P
191850-67-6P 191850-69-8P 191850-71-2P
191850-75-6P 191850-77-8P 191850-78-9P
191850-79-0P 191850-80-3P 191850-81-4P
191850-82-5P 191850-83-6P 191850-84-7P
191850-85-8P 191850-86-9P 191850-87-0P
191850-88-1P 191850-89-2P 191850-90-5P
RL: BAC (Biological activity or effector, except adverse ; SPN (Synthetic preparation ; THU (Therapeutic use ; BIOL (Biological study ; PREP (Preparation ; USES (Uses
[illegible] of hydroxyethylamine core structures as HIV and FIV protease inhibitors

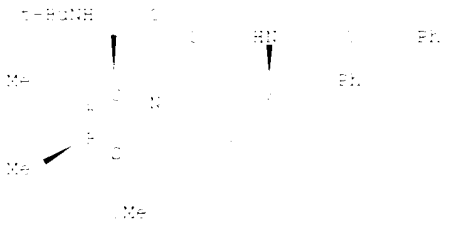
RN [illegible] HCAPLUS
CN Carbamic acid, [3-[2-[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [3S-[1 R*,2.alpha.,3.beta.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME

Absolute stereochemistry.



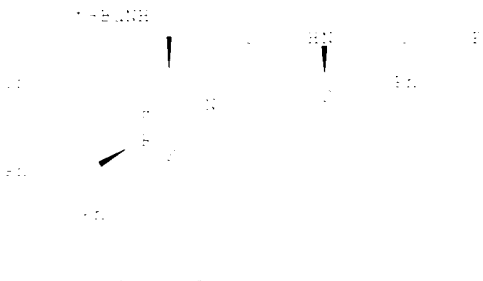
RN 191850-51-8 HCAPLUS
CN Carbamic acid, [3-[2-[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [3S-[1 R*,2.alpha.,3.beta.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME

Absolute stereochemistry.



RN [illegible] HCAPLUS
CN Carbamic acid, [3-[2-[(1,1-dimethylethyl) amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [3S-[1 R*,2.alpha.,3.beta.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME

Absolute stereochemistry.



RN [illegible]-14-3 HCAPLUS
CN Carbamic acid, [3-[(2-[illegible] 1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1- phenylmethyl propyl]-, phenylmethyl ester, [1S-[1 R* ,2.alpha.,3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

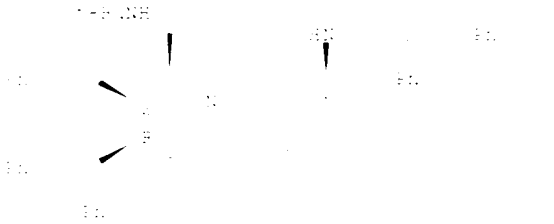
Absolute stereochemistry.

RN [illegible]-65-4 HCAPLUS
CN Carbamic acid, [3-[(2-[illegible] 1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl -2,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [1S-[1 R*,2.alpha.,3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

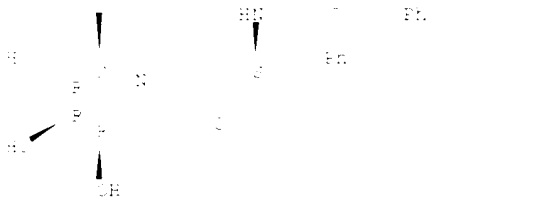
RN [illegible]-66-5 HCAPLUS
CN Carbamic acid, [3-[(2-[illegible] 1,1-dimethylethyl)amino]carbonyl]-3,4,5-tris phenylmethoxy -1-piperidinyl]-2,3-dioxo-1- phenylmethyl propyl]-, phenylmethyl ester, [1S-[1 R* ,2.alpha.,3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



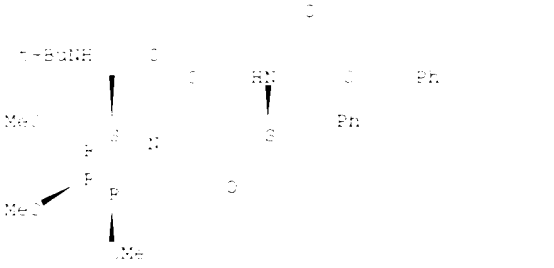
RN [illegible] HCAPLUS
CN Carbamic acid, [3-[[2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-1,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [2S-[1 R*,2.alpha.,3.beta.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



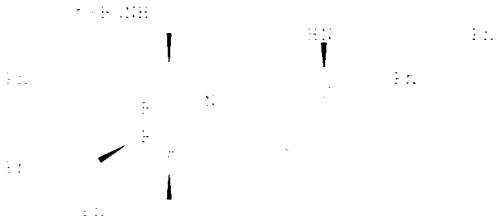
RN [illegible] HCAPLUS
CN Carbamic acid, [3-[2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-1,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [2S-[1 R*,2.alpha.,3.beta.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



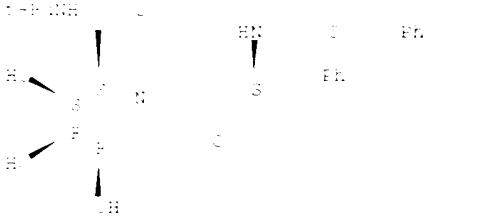
RN [illegible] HCAPLUS
CN Carbamic acid, [3-[2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-tris(phenylmethoxy)-1-piperidinyl]-1,3-dioxo-1-phenylmethyl propyl]-, phenylmethyl ester, [2S-[1 R*,2.alpha.,3.beta.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



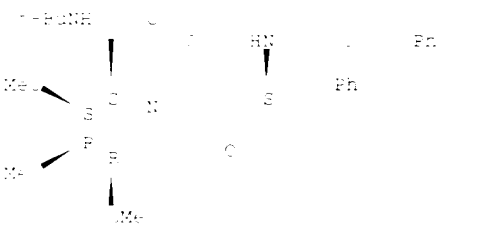
RN [illegible] HCAPLUS
IN Carbamic acid, [3-[[3-[[1,1-dimethylethyl amino]carbonyl]-3,4,5-trihydroxy-
1-piperidinyl]-2,3-dioxo-1- phenylmethyl propyl]-, phenylmethyl ester,
[2S-[1 R* [1.alpha.,3.alpha.,4.alpha.,5.alpha.]]- PCT IA INDEX NAME

Abs- with stereochemistry.



RN [illegible] HCAPLUS
IN Carbamic acid, [3-[[3-[[1,1-dimethylethyl amino]carbonyl]-3,4,5-trimethoxy-
1-piperidinyl]-2,3-dioxo-1- phenylmethyl propyl]-, phenylmethyl ester,
[2S-[1 R* [1.alpha.,3.alpha.,4.alpha.,5.alpha.]]- PCT IA INDEX NAME

Abs- with stereochemistry.



RN [illegible] HCAPLUS
IN Carbamic acid, [3-[[3-[[1,1-dimethylethyl amino]carbonyl --,4,5-
tris phenylmethoxy -1-piperidinyl]-2,3-dioxo-1- phenylmethyl propyl -,
phenylmethyl ester, [2S- R* [1.alpha.,3.alpha.,4.alpha.,5.alpha. -
PCT IA INDEX NAME

Abs with stereochemistry.

AN [illegible] HIAPLUS
TI [illegible] acid, [illegible] 1,1-dimethylethyl amino[carbonyl]-1-,4,[illegible]-trimethoxy-
.alpha.-[(piperidinyl)[4-hydroxy-4-phenylmethyl propyl]-1-, phenylmethyl ester,
[3S-[1 [R*,[S* ,2.alpha.,3.beta.,4.alpha.,5.beta.]]- [illegible] CA INDEX
NAME

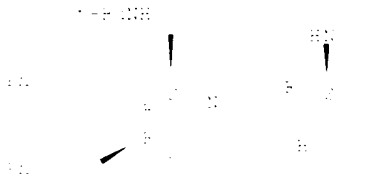
Absolute stereochemistry.

AN [illegible] HIAPLUS
TI [illegible] acid, [3-[3-[[1,1-dimethylethyl amino[carbonyl] -1-,4,[-trimethoxy-
.alpha.-[(piperidinyl)[-4-hydroxy-4- phenylmethyl propyl]-1-, phenylmethyl ester,
[3S-[1 [R*,[S* ,2.alpha.,3.beta.,4.alpha.,5.beta.]]- [illegible] CA INDEX
NAME

Absolute stereochemistry.

AN [illegible] HIAPLUS
TI [illegible] acid, [3- [3-[[1,1-dimethylethyl amino [carbonyl]- 1-,4,[-
[(1- phenylmethoxy -1-piperidinyl)-4-hydroxy-4- phenylmeth. pr.py. -,
[phenylmethyl ester, [3S-[1 [R*,[S* ,2.alpha.,3.beta.,4.alpha.,5.beta.]] -
[illegible] CA INDEX NAME

Absolute stereochemistry.



RN [illegible] HCAPLUS
CN Carbamic acid, [3-[2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester, [2S-[1(1R*,2S*),2.alpha.,3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

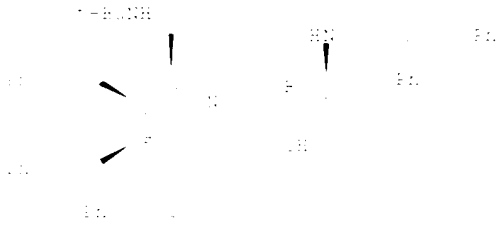
Absolute stereochemistry.

RN [illegible]-63-6 HCAPLUS
CN Carbamic acid, [3-[2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester, [2S-[1(1R*,2S*),2.alpha.,3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

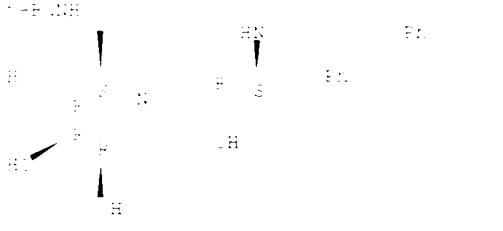
RN [illegible]-44-7 HCAPLUS
CN Carbamic acid, [3-[2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-tris(phenylmethoxy)-1-piperidinyl]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester, [2S-[1(1R*,2S*),2.alpha.,3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



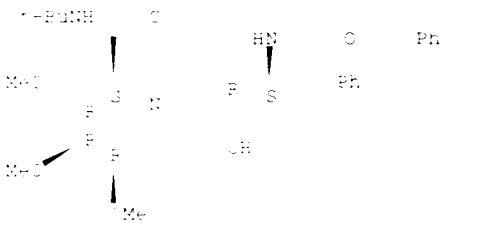
RN [illegible] HCAPLUS
CN Carbamic acid, [(3R,4S)-[(1,1-dimethylethyl amino]carbonyl]-3,4,5-trimethoxy-4-piperidinyl]-2-hydroxy-1-(phenylmethyl propyl]-, phenylmethyl ester, rel-[1 1R*,2S* ,3.alpha.,3.beta.,4.alpha.,5.alpha.]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191670-86-9 HCAPLUS
CN Carbamic acid, [3-[2-[(1,1-dimethylethyl amino]carbonyl]-3,4,5-trimethoxy-4-piperidinyl]-2-hydroxy-1-(phenylmethyl propyl]-, phenylmethyl ester, rel-[1 1R*,2S* ,3.alpha.,3.beta.,4.alpha.,5.alpha.]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

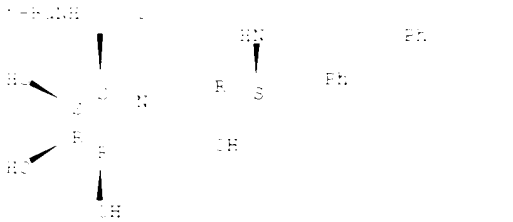


RN [illegible] HCAPLUS
CN [illegible] phenylmethoxy -1-piperidinyl -2-hydroxy-1- phenylmethyl propyl -, phenylmethyl ester, rel-[1 1R*,2S* ,3.alpha.,3.beta.,4.alpha., .alpha.,

Absolute stereochemistry.

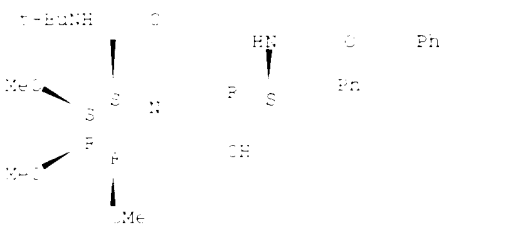
RN [illegible] HCAPLUS
CN [carbamic acid, [3-[[2-[[1,1-dimethylethyl amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2-hydroxy-1-phenylmethyl propyl]-, phenylmethyl ester, [2S-[1 [R*,S*],2S*,3.alpha.,3.alpha.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME]

Absolute stereochemistry.



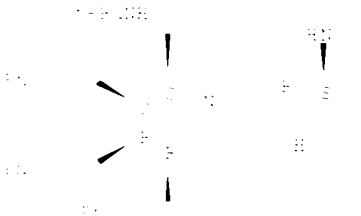
RN [illegible] HCAPLUS
CN [carbamic acid, [3-[[2-[[1,1-dimethylethyl amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2-hydroxy-1-phenylmethyl propyl]-, phenylmethyl ester, [2S-[1 [R*,2S*],3.alpha.,3.alpha.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME]

Absolute stereochemistry.



RN [illegible] HCAPLUS
CN [carbamic acid, [3-[[2-[[1,1-dimethylethyl amino]carbonyl]-3,4,5-trimethoxy-1-piperidinyl]-2-hydroxy-1-phenylmethyl propyl]-, phenylmethyl ester, [2S-[1 [R*,S*],2S*,3.alpha.,3.alpha.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME]

Absolute stereochemistry.



RN 19130-96-2
PLU RCT Reactant
prepn. of hydroxyethylamine core structures as HIV and FIV protease inhibitors
RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2- hydroxymethyl -, [2R,3R,4R,5S - [CI] CA INDEX NAME

Absolute stereochemistry. Rotation +.

RN 130539-12-7P 153373-56-9P 153373-57-0P
166411-19-4P 166411-20-7P 172139-94-5P
191850-39-2P 191850-40-5P 191850-41-6P
191850-42-7P 191850-43-8P 191850-44-9P
191850-45-0P 191850-46-1P 191850-47-2P
191850-48-3P 191850-49-4P 191850-50-7P
191851-30-6P 191851-31-7P 191851-32-8P
191851-33-9P 191851-34-0P 191851-35-1P
191851-36-2P 191852-19-4P 191852-23-0P
PLU RCT Reactant; SPN Synthetic preparation; PREP Preparation
prepn. of hydroxyethylamine core structures as HIV and FIV protease inhibitors
RN 130539-12-7 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3,4,5-trihydroxy-2- hydroxymethyl -, phenylmethyl ester, [2R-(2.alpha.,3.beta.,4.alpha.,5.beta. [- [CI] CA INDEX NAME

Absolute stereochemistry.

RN [illegible] HCAPLUS
CN 1-Piperidinecarboxylic acid, 3,4,5-tris acetyloxy -, [[1,1-dimethylethyl diphenylsilyl]oxy]methyl]-, phenylmethyl ester, [2R- [.alpha.,3.alpha.,4.alpha.,5.beta. - [CI] CA INDEX NAME

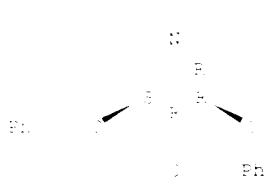
[illegible] absolute stereochemistry.

[illegible] HCAPLUS
IN [illegible]-piperidinecarboxylic acid, 2-[[1,1-dimethylethyl diphenylsilyl]oxy]methyl -3,4,5-tris(phenylmethoxy)-, phenylmethyl ester, [2R- [2.alpha.,3.beta.,4.alpha.,5.beta.]]- [illegible] CA INDEX NAME

Absolute stereochemistry.

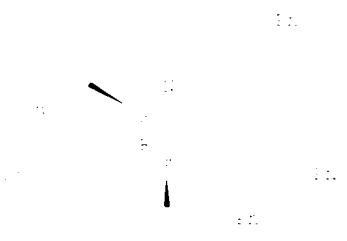
RN [illegible] HCAPLUS
IN 1-Piperidinecarboxylic acid, 2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl -3,4,5-tris(phenylmethoxy)-, phenylmethyl ester, [2R-[2.alpha.,3.beta.,4.alpha.,5.beta.]]- [illegible] CA INDEX NAME

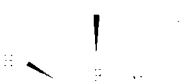
Absolute stereochemistry.

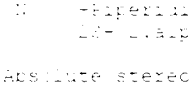


RN [illegible] HCAPLUS
IN 1-Piperidinecarboxylic acid, 2- hydroxymethyl -3,4,5-tris(phenylmethoxy)-, phenylmethyl ester, [2R- [2.alpha.,3.beta.,4.alpha.,5.beta.]]- [illegible] CA INDEX NAME

Absolute stereochemistry.





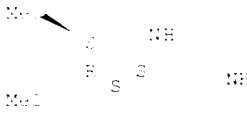


RN [illegible] HCAPLUS
CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-,
[3S-(3.alpha.,4.alpha.,5.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

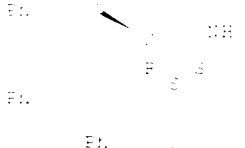
RN [illegible] HCAPLUS
CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trimethoxy-,
(3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



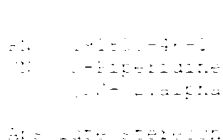
RN [illegible] HCAPLUS
CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-tris(phenylmethoxy)-,
(3S-trans)- (9CI) (CA INDEX NAME)

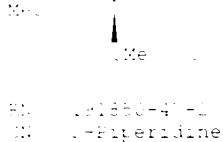
Absolute stereochemistry.

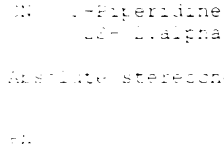


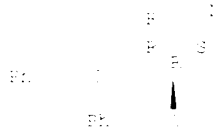
RN [illegible] HCAPLUS
CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-,
[3S-(3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.









RN 191850-46-1 HCAPLUS
CN 1-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trimethoxy-, (2S-(2.alpha.,3.beta.,4.alpha.,5.alpha.))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191850-47-2 HCAPLUS
CN 1-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-tris(phenylmethoxy)-, (2S-(2.alpha.,3.beta.,4.alpha.,5.alpha.))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191850-48-3 HCAPLUS
CN 1-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S-(2.alpha.,3.alpha.,4.alpha.,5.alpha.))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191850-49-4 HCAPLUS
CN 1-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trimethoxy-, (2S-(2.alpha.,3.alpha.,4.alpha.,5.alpha.))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible] HCAPLUS
IN [illegible]-Piperidinecarboxamide, N-[2,2-dimethyl-1-[[4,5,6-trihydro-4H-[illegible]-2-yl]amino]-1-oxopropan-2-yl]-2-[(1R,2S,3S,4S,5S)-2-[(2-methylpropan-2-yl)oxy]-4,5-dihydroxy-3-methoxycyclohexyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible]
IN [illegible]-Piperidinecarboxylic acid, 2-[(1R,2S,3S,4S,5S)-2-[(2-methylpropan-2-yl)oxy]-4,5-dihydroxy-3-methoxycyclohexyl]-, phenylmethyl ester, (2R)- (CA INDEX NAME)

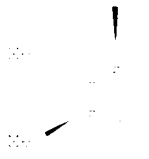
Absolute stereochemistry.

RN [illegible]
IN [illegible]-Piperidinecarboxylic acid, 2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-3,4,5-trimethoxy-, phenylmethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible]
IN [illegible]-Piperidinecarboxylic acid, 2-hydroxymethyl-3,4,5-trimethoxy-, phenylmethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN ... HCAPLUS
IN ... 1,2-Piperidinedicarboxylic acid, 3,4,5-trimethoxy-, 1- phenylmethyl ... ester, (2S- (2.alpha.,3.beta.,4.alpha.,5.beta.)- (9CI) CA INDEX NAME

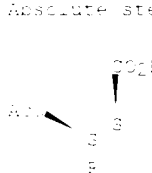
Absolute stereochemistry.

RN ... HCAPLUS
IN ... 1,2-Piperidinedicarboxylic acid, 3-[(1,1-dimethylethyl amino)carbonyl]-4,5-trimethoxy-, phenylmethyl ester, (2S- (2.alpha.,3.beta.,4.alpha.,5.beta.)- (9CI) CA INDEX NAME

Absolute stereochemistry.

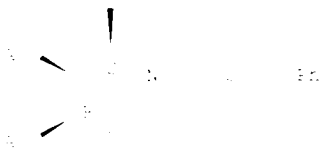
RN ... HCAPLUS
IN ... 1,2-Piperidinedicarboxylic acid, 3,4,5-tris(acetyloxy)-, 1- phenylmethyl ester, (2S- (2.alpha.,3.alpha.,4.alpha.,5.beta.)- (9CI) CA INDEX NAME

Absolute stereochemistry.



RN ... HCAPLUS
IN ... 1,2-Piperidinedicarboxylic acid, 3,4,5-tris(acetyloxy)-, 1-[(1,1-dimethylethyl amino)carbonyl]-, phenylmethyl ester, (2S- (2.alpha.,3.alpha.,4.alpha.,5.beta.)- (9CI) CA INDEX NAME

Absolute stereochemistry.

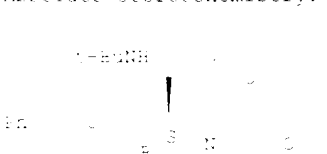


RN [illegible]
CN [illegible] HCAPLUS
[illegible]-Piperidinedicarboxylic acid, 3,4,5-tris(phenylmethoxy)-, 1-(phenylmethyl) ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN [illegible]
CN [illegible] HCAPLUS
[illegible]-Piperidinecarboxylic acid, 2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-tris(phenylmethoxy)-, phenylmethyl ester, [2S-(2.alpha.,3.beta.,4.alpha.,5.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



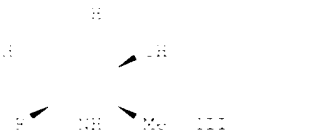
L[illegible]

AN [illegible] COPYRIGHT [illegible]
AU [illegible]
TI [illegible]
SO [illegible]
[illegible]
AF [illegible]
[illegible]
[illegible]
DT [illegible]
LA [illegible]
AB A **high-throughput** assay for UDP-Glc:1,3`-.beta.-
glucan synthase (EC 2.4.1.34, UDP-glucose:1,3-.beta.-D-glucan,
4-.beta.-D-glucosyltransferase) from fungi and higher plants is described.
The assay is performed in microtiter plates and is extremely inexpensive
compared to other std. assays for these enzymes. The read. is principle
achieved by replacing the conventional substrate UDP-[14]Glc with its
nonradioactive counterpart, and the nonradioactive glucan produced is
quantified as a fluorescent complex following specific interaction with
the fluorochrome present in com. aniline blue. In addn., it is [illegible]
assay. In brief, the assay is highly reproducible and nearly as sensitive as
radioactive assays and has the addnl. advantages of increased safety and
avoidance of the need for filtration and washing steps to collect the
glucan product. As such, the assay is highly suitable for **high-
throughput** screening for inhibitors of these enzymes.
IT **19130-96-2**, Oxonyonirimycin
PEL: ANT Analyte ; BAC Biological activity or effector, except adverse ; BPR Biological process ; ANST Analytical study ; BIOL Biological study ; PROC Process
microtiter-based fluorescence assay for 1,3 -.beta.-glucan synthases
RE [illegible] HCAPLUS
IN [illegible]
NAME

Absolute stereochemistry. Rotation [illegible]

[illegible]

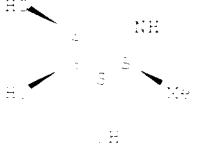
AN [illegible] HCAPLUS COPYRIGHT [illegible] ACS
AN [illegible] HCAPLUS
TI [illegible]
IT [illegible] L-rhamnosidase L-rhamnosidase by piperidine analogs of L-rhamnose: synthesis for **libraries** incorporating trihydroxypipecolic acids
AU [illegible] Wheatley, Joseph P.; Davis, Benjamin; Nash, Robert J.; Watkin, David J.; Smith, Alan
CS [illegible]
SO [illegible]
DT [illegible]
LA [illegible]
AB [illegible]



L-Deoxyrhamnojirimycin (I) does not inhibit naringinase significantly but 1-epi-L-deoxyrhamnojirimycin (II) is a potent inhibitor. Conversely, .alpha.-D-glycosides, e.g. III (R = H, CH2OH), of I are good inhibitors of L-rhamnosidase whereas those of II are not. Intermediate azabicyclic lactones are likely to be of use for the incorporation of a no. of trihydroxypipecolic acids into peptide **libraries**.

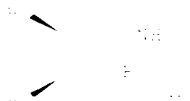
IT **135395-45-8**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
prepn. and inhibition of naringinase by piperidine analogs of L-rhamnose
RN 135395-45-8 HCAPLUS
CN 3,4,5-Piperidinetriol, 2-methyl-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

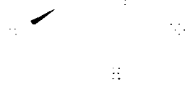
Absolute stereochemistry. Rotation (+).

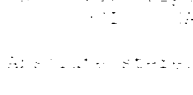


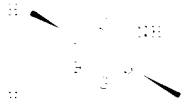
IT **135395-58-3P 185745-43-1P 185745-75-9P**
185745-80-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
prepn. and inhibition of naringinase by piperidine analogs of L-rhamnose
RN [illegible] HCAPLUS
CN [illegible] (CA INDEX NAME)

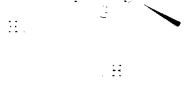
Absolute stereochemistry. Rotation (+).

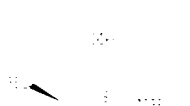


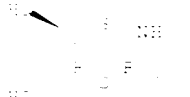


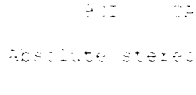


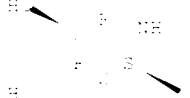












RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-6-methyl-, (2S,3S,4R,[illegible])-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-6-methyl-, (2R,3S,4R,5S,6S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-6-methyl-, (2S,3S,4R,5S,6R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L ?? ANSWER ? OF ? CAPLUS COPYRIGHT 2003 ACS

AN [illegible] HCAPLUS

TI [illegible]

IN [illegible]

PA [illegible]

SO [illegible]

DT [illegible]

LA [illegible]

AB [illegible]

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
[illegible]	A1	[illegible]	[illegible]	[illegible]
[illegible]	B4	[illegible]		

AB [illegible] selectively permeable membranes for sepn. of azeotropic distillates and other liq. mixts. with good sepn. efficiency and high **throughput** are composites of microporous hydrophobic and hydrophilic films. Thus, 7% aqueous poly(vinyl acetate) and poly(vinyl pyrrolidone) (K-30) were combined wt. ratio 1:1 in water, cast on a glass plate, and dried at room temp. to form a hydrophilic film 30 μm thick. A Me3SiO-terminated dimethylpolysiloxane (I), MeSi(OEt)3, and Bu2Sn diacetate were cast on top of the film and dried at room temp. to form a 2-mm. composite membrane. When a section of the membrane was placed in a sepn. device with a 5:1 C6H6-MeOH mixt. in the hydrophilic side and reduced pressure (5 mm Hg) on the silicone side, the permeation fluxes of C6H6 and MeOH were 5,611 and 1,930 g/cm2-h, resp.

IT **26587-22-4**

RL: USES (Uses)

hydrophobic polymer film laminates, permselective, for sepn. of liq. mixts.

RN [illegible]-4 HCAPLUS

CN 1-Propanamine, 1-ethenyl-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN [illegible]-4

CMF C5 H11 N

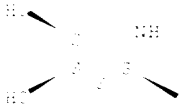
CH2 CH

n

[illegible]

L41 ANSWER [illegible] HIGHLIGHT [illegible]
AN [illegible]
TI [illegible]
AU [illegible]
CS [illegible]
SO [illegible]
[illegible]
[illegible]
[illegible]
DT [illegible]
LA [illegible]
AB [illegible] These phosphates are obtained in high yield and purity by treating 1-alkyl-1-deoxy-D-fructose-1-phosphate bis(cyclohexylammonium) salt I with an aldehyde, hydroxyalkyl phosphate oxidase II, and an aldolase in the presence of catalase. Thus, I (1 mmol) in glyceraldehyde (10 mmol), II ([illegible]), catalase ([illegible]), and L-rhamnulose-1-phosphate aldolase (5 U) in 0.1 M Tris-HCl buffer (pH 8.0) was incubated under O2 to give 97% 1-deoxy-D-fructose-1-phosphate, as its bis(cyclohexylammonium) salt. Phosphate-modified products, such as 1-deoxy-D-fructose-1-**phosphoramidate**, were also obtained by this method.
RN **117821-07-5P**
PAR SPN Synthetic preparation ; PREP Preparation
prepn. of, by enzymic aldol reaction
RN [illegible] HCAPLUS
CN 3,4,5-Piperidinetriol, 2- hydroxymethyl -, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+) .



L41 also comes from L6, L26 or L32 cpds

text searching

L[illegible]

AN [illegible]
AU [illegible]
TI **Combinatorial** [illegible] **aminodiols**.
SO [illegible]
[illegible]
[illegible]
[illegible]
AB [illegible] **library** [illegible] [illegible] **aminodiol** [illegible] has been prepd. The scaffold are attached to Wang resin at the 1,3-diol via a base-labile succinate linker, then derivatized with different electrophiles at the nitrogen to yield ureas, sulfonamides, amides, and tertiary amines. The second hydroxyl is converted to an acid, amidated with dialkyl amines, then further converted to a sulfamate with a variety of amines. The mild linking chem. is amenable to use with com. available protected and unprotected reagents, thus allowing a variety of reactive groups to be introduced while avoiding laborious prepn. of protected reagents. The compds. were synthesized at a rate of 48 compds. per day as mixts. of five compds. per well using a Trittone parallel array or well synthesizer. Complete synthetic details and preliminary [illegible] data for this set of compds. will be presented.

text searching

L1 ANSWER 1 OF 1 MARPAT COPYRIGHT 2004 ACS

AN [illegible] MARPAT

TI [illegible] **combinatorial libraries**

IN [illegible]

PA [illegible]

SO [illegible]

LA English

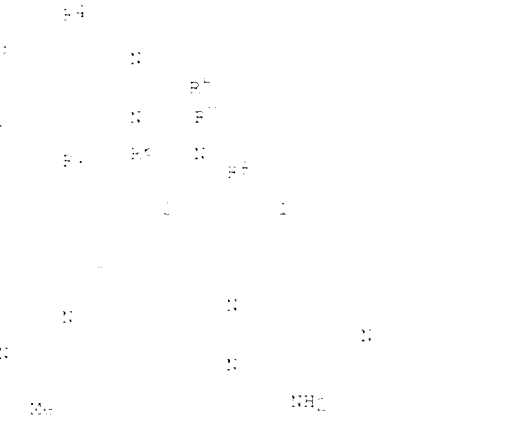
PAN.INFO.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO [illegible]	A1	[illegible]	WO [illegible]	[illegible]

DES: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI US [illegible] A [illegible]

[illegible]



AB The invention relates to novel benzimidazole derivs. I [R1-R4 = H, halo, protected OH, cyano, un substituted alkyl enyl yl, alkoxy, aryl, heterocyclyl, carbamoyl, etc.; R5 = H, un substituted alkyl, Ph, phenylalkyl, CO2H, amino, heterocyclyl, etc.; R6 = -D-W-E-, wherein W = bond, un substituted phenylene, cycloalkylene, arylene, heterocyclene, etc.; D = un substituted cyclohexenyl alkenynylene, phenylene, NH, etc.; E = bond, groups given for D; R7, R8 = H, resin, un substituted alkyl, Ph, heterocyclyl, cycloalkenyl, sulfonyl or carbonyl derivs.; with provisis requiring that one of R1-R4 = un substituted CONH2 when R6 = NH2]. The invention further relates to **combinatorial libraries** contg. two or more such compds., as well as methods for preps. them. The compds. are potentially useful due to biol. activity. For instance, a **library** of 16,185 such benzimidazole derivs. was prepd. from arrays of 4e arom. or heteroarom. alkenylenes, 17 amino acids or diamines, and 15 amines. The synthetic method involved coupling of an N-protected amino acid component to an amine resin, reductive alkylation of the amine component (step 2), deprotection, N-acylation of the free amine with 4-fluoro-3-nitrobenzoic acid, displacement of the fluoro group with an amine component, reduction of the nitro group to an amine, cyclocondensation of the appended diamine with an aldehyde component, and cleavage from the support with HF. An

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AN ...
TI ...
IT ...
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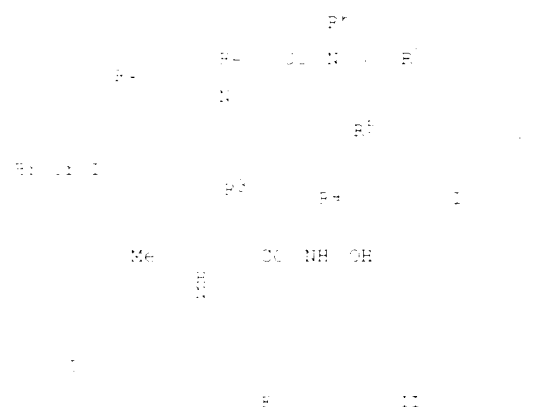
AU ...
PA ...
...
PATENT NO. KIND DATE APPLICATION NO. DATE
... ...
PI ... ...
DES: AE, AG, AL, AM, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DE,
DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
...
...



AB ... [illegible] compds. I ... wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, ... NO2; R2 = H; R3, R4, and R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or ... or NH or CH2 or O; R6 = H, ... H, CO2H, or NR10R11; R7 = ... or 1; R8 = H or alkyl; R9 and R10 = independently H, alkyl, or taken together with the N to which they are attached form a heterocycle; R' = H, ... alkyl, aryl, alkyl, or arylalkyl; R'' = H, ... alkyl, alkenyl, alkynyl, or heteroalkyl, with proviso that ... compds. are ... combinatorial synthetic methods for the preparation ... [illegible] ... 2,4-difluorobenzoic acid in THF was added ... [illegible] ... with ... diisopropylamine and LDA was prepd. in THF ... [illegible]

[illegible] 2-(4-methylphenyl)-2-oxoethyl 2-[illegible] [illegible] [illegible] 2-[illegible]-2-hydroxyethyl 4-hydroxybenzoate and [illegible] 2-(4-hydroxyphenyl)-2-oxoethyl 4-hydroxybenzoate in THF [illegible] in the presence of DBU [illegible] [illegible] [illegible] intermediate, which was dissolved in ethanol [illegible] [illegible] 4-hydroxybenzamide [illegible] in the [illegible] yield. Both assay [illegible] that CBP inhibitors exert an antiamyloidogenic effect in [illegible] mice which administered intravenously and that the antiamyloidogenic [illegible] correlates with the affinity of the compds.

ANSWER [illegible] OF 2 [illegible] COPYRIGHT 2011 ACS

AN [illegible]

TI Methods of inhibiting amyloid protein aggregation, treating Alzheimer's disease, and imaging amyloid deposits using 2-phenylalkyl phenyl amino benzoic acids and analogs

IN [illegible]; Christian, Corinne Elizabeth; Barrish, Mark Robert; Pigge, Christopher Franklin; Glase, Shelly Ann; Hachiya, Shunichiro; Kelly, John Steven; Kimura, Takenori; Lai, Yingqie; Sakkab, Annette Theresa; Sutt, Nick James; Walker, Gary Druswell; Yasunada, Tomoyuki; Zhuang, Ning

PA [illegible]; Warner-Lambert Company, USA; Yamanouchi Pharmaceutical Company, Ltd.; [illegible]

SO [illegible] Appl., [illegible] pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001076489	A2	20011025	WO 2001-US15071	[illegible]

W: AE, AG, AL, AM, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-196550P P 20000410

OS MARPAT 134:56480

GI

AB The invention provides a method of treating Alzheimer's disease using [illegible] cmpds. I and their pharmaceutically acceptable salts [illegible] R = H, alkyl, alkanoyl; n = 1-6; R1-R5 = H, halo, OH, [illegible] unsubstituted NH2 [illegible] alkyl, CO2H or derivs., NO2, alkoxy, CF3, cyano, [illegible] substituted [illegible] R1R2 = CH2, R2 + R3 = CO2H, tetraalkyl, CH2O, NHCH2O; R4 [illegible] alkyl, R5, X = O; A = CH or N. Also provided is a method [illegible] inhibiting the aggregation of amyloid proteins using I, and a method [illegible] imaging amyloid deposits, as well as new cmpds. Claims further include pharmaceutical formulations contg. I. Examples include [illegible] synthesis

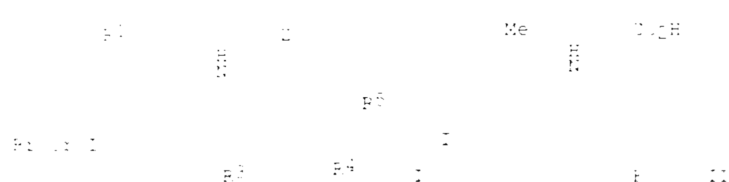
[illegible] and [illegible] bioassays. For instance, title compd. II was prepd. by a [illegible] Wittig reaction of [illegible] with [illegible] [illegible] phosphonium salt [illegible] [illegible] Wittig reaction [illegible] give an aldehyde [illegible] [illegible] of the amide with [illegible] [illegible] the inhibition of self-seeded amyloid fibril growth [illegible] [illegible] . A **combinatorial** method [illegible] for prepn. of I is also described.

L4 ANSWER 4 OF [illegible] HCAPLUS COPYRIGHT [illegible] ACS
AN [illegible] HCAPLUS
DN [illegible]
TI Preparation of [illegible] 4-bromo or 4-iodo phenylamino benzoic acid derivatives [illegible] MEK inhibitors for use as antiviral agents
IN [illegible], Alexander James; Dudley, David Thomas; [illegible], Stephen [illegible]; [illegible], Annette Lynn; [illegible], Alan Robert; [illegible], Judith
PA Warner-Lambert Company, USA
SO PCT Int. Appl., [illegible] pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO [illegible]	A1	[illegible]	WO 1999-US[illegible]	1999[illegible]

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-[illegible] P 1999[illegible]
OS MARPAT [illegible]
GI



AB The title compds. I (wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, or CN; R3-R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or [illegible] or NH m-(CH2) n-R9, where R9 = H, OH, CO2H, or NR10R11; m = [illegible] or 1; n [illegible] -4; R10 and R11 = H, alkyl, or taken together with the N to which they are attached form a 3-10 membered ring; Z = CO2R7, tetrazolyl, CONR6R7, CONHNR10R11, or CH2OR7; R6 and R7 = independently H, [illegible] alkyl, alkenyl, alkynyl, acyl, [illegible] hetero aryl, or taken together with the N to which they are attached form a 3-10 membered ring, etc.) were prepd. by [illegible] combinatorial synthetic methods involving the addn. of halobenzoic acids to haloanilines and optional redn. or amidation of the [illegible]. For example, treatment of 2-amino-5-iodotoluene in THF with LDA in THF/heptane/ethenylbenzene soln., followed by addn. of 2,4-difluorobenzoic acid in THF afforded II. In assays evaluating the ability to prevent and inhibit growth of human cytomegalovirus (HCMV) and herpesvirus (HSV-[illegible]), [illegible]-methyl-4-iodophenylamino[illegible]-N-cyclopropylmethoxy-[illegible],4-difluoro-[illegible] benzamide [illegible] gave [illegible] of [illegible] µM and [illegible] µM, resp., with [illegible] of [illegible] µM and [illegible] µM, resp. [illegible] also showed anti-HIV activity with [illegible] of [illegible] µM and [illegible] of [illegible] µM. Thus, I are

[illegible] MMP inhibitors that were useful in the treatment and prevention [illegible]
[illegible] tuberculosis, resp. HIV, hepatitis B, and herpesvirus.

[illegible]

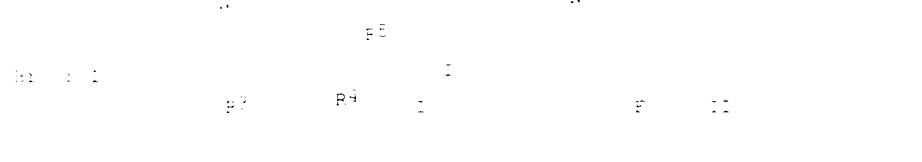
[illegible] 1 ANSWER [illegible] HCAPLUS [illegible]
[illegible] 1 ANSWER [illegible] HCAPLUS [illegible]
[illegible] 1 ANSWER [illegible] HCAPLUS [illegible]
[illegible] 1 ANSWER [illegible] HCAPLUS [illegible]
[illegible] 1 ANSWER [illegible] HCAPLUS [illegible]
[illegible] VISUALIZE AVAILABLE IN THE RE FORMAT

L[illegible] ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2011 ACS
AN [illegible] HCAPLUS
DN [illegible]
TI Preparation of 2- [illegible]-4-bromo or 4-iodo phenylamino [illegible] acid derivatives as MMP inhibitors for the treatment of asthma
IN Brown, Alexander James; Dudley, David Thomas; Morley, James Leslie; Pattrick, Alan Robert
PA Warner-Lambert Company, USA
SO PCT Int. Appl., [illegible] pp.
[illegible]
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI [illegible]	A2	[illegible]	WO 1998-US[illegible]	[illegible]
WO [illegible]	A3	[illegible]		

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, GH, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UG, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1997-[illegible] P 19970[illegible]
OS MARPAT 133:[illegible]
GI



AB The title compds. [illegible] (wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, or NO2; R3-R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or [illegible] or NH(C=O)-CH2 n-R9, where R9 = H, OH, CO2H, or NR10R11; n = 1 or 2; R10 and R11 = H, alkyl, or taken together with the N to which they are attached form a 5-10 membered ring; Z = CO2R7, tetrazolyl, C(NR8)NR8R8a, or CH2OR7; R6 and R7 = independently H, cycloalkyl, alkenyl, alkynyl, acyl, heteroaryl, or taken together with the N to which they are attached form a 5-10 membered ring, etc., were prepd. by [illegible]. or combinatorial synthetic methods involving the addn. of halobenzoic acids to haloanilines and optional reen. or amidation of the acid. For example, treatment of 2-amino-5-iodotoluene in THF with NaH in THF heptane ethenylbenzene sln., followed by addn. of 2,4-difluorophenyl acid in THF afforded II. In an in vitro assay, (2R)-2-methyl-4-[4-biphenylamino -N-hydroxy-3,3-difluoro-4-oxobutanamide (I) [illegible] prevented antigen-induced prolif. of interleukin 2 (IL-2) by OVA-primed lymph. cells with IC50 of [illegible] nM. In an adoptive-transfer asthma model [illegible] sensitized splenocytes cultured in the presence of BI [illegible], the latter inhibited BAL eosinophilia and inflammation by [illegible] at a dose of [illegible] mg/kg in mice. BI [illegible] also inhibited active OVA-induced

[illegible] lung inflammation in mice dosed orally at [illegible] mg/kg [illegible] suppressing BAL eosinophilia by [illegible]. Thus, I are potent MEK inhibitors that are useful in the prevention and treatment of asthma.

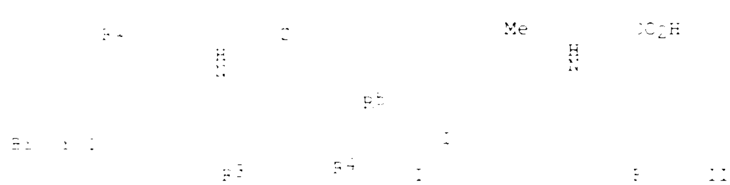
L4 ANSWER [illegible] OF [illegible] HCAPLUS COPYRIGHT [illegible] ACS
AN [illegible] HCAPLUS
DN [illegible]
TI Preparation of [illegible]-4-iodo[illegible] phenylamino [illegible] acid derivatives as MEK inhibitors
IN [illegible]
PA Warner-Lambert Company, USA
SO PCT Int. Appl., [illegible] pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	---------------	----	--------	---------------	--------
PI	WO [illegible]	A1	[illegible]	WO 1999-US[illegible]	1999[illegible]

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1998-113291 P 19981222
US 1999-164788 P 19991110
OS MARPAT 133:58616
GI



AB The title compds. (I) {wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, or CN; R3-R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or [illegible] or NH(m)-(CH2)n-R9, where R9 = H, OH, CO2H, or NR10R11; m = 0 or 1; n = [illegible]-4; R10 and R11 = H, alkyl, or taken together with the N to which they are attached form a 3-10 membered ring; Z = CO2R7, tetrazolyl, CONR6R7, CONHNR10R11, or CH2OR7; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, acyl, (hetero)aryl, or taken together with the N to which they are attached form a 3-10 membered ring, etc.} were prepd. by std. or **combinatorial** synthetic methods involving the addn. of halobenzoic acids to haloanilines and optional redn. or amidation of the acid. Thus, treatment of 2-amino-5-iodotoluene in THF with LDA in THF/heptane/ethenylbenzene soln., followed by addn. of 2,4-difluorobenzoic acid in THF afforded II. Combination chemotherapy of I with a known mitotic agent caused dramatic increases of apoptosis of colon and lung carcinoma cells. For instance, 2-(2-chloro-4-iodophenylamino)-N-cyclopropylmethoxy-3,4-difluorobenzamide (PD 184352) in combination with paclitaxel resulted in 44 to 55 apoptosis, [illegible] increases over using either agent alone, of colon 26 carcinoma, HT-29 colon carcinoma, and A549 lung carcinoma cells.

RE.CNT [illegible]
RE
(1) [illegible] Ag; WO [illegible] A 1997 HCAPLUS
(2) [illegible], [illegible]; [illegible] A 199[illegible] HCAPLUS
(3) [illegible]; BRITISH JOURNAL OF CANCER 199[illegible], V[illegible], P[illegible] HCAPLUS
(4) [illegible] A 19[illegible] HCAPLUS

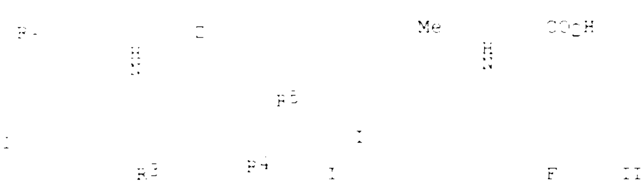
[illegible] CELL GROWTH & DIFFERENTIATION [illegible] HCAPLUS
[illegible] CITATION NOT AVAILABLE IN THE RE FORMAT

L4 ANSWER [illegible] OF [illegible] HCAPLUS COPYRIGHT [illegible] ACS
AN [illegible] HCAPLUS
DN [illegible]
TI Preparation of [illegible] 4-bromo or 4-iodo phenylamino benzoic acid derivatives
as MEK inhibitors
IN [illegible], David Thomas; [illegible], Craig Mason; [illegible], Alan R[illegible]
PA Warner-Lambert Company, USA
SO PCT Int. Appl., [illegible] pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO [illegible]436	A1	[illegible]	WO 1999-US[illegible]	1999121[illegible]

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, [illegible], [illegible], VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1998-112[illegible] P 1998121[illegible]
US 1999-1[illegible] P 1999111[illegible]
OS MARPAT 13[illegible]
GI

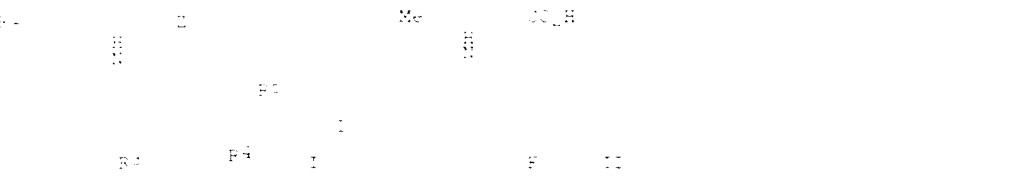


I II

AB The title compds. (I) [wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, or CN; R3-R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or [illegible] or NH m-(CH2)n-R9, where R9 = H, OH, CO2H, or NR10R11; m = 0 or 1; n = 1-4; R10 and R11 = H, alkyl, or taken together with the N to which they are attached form a 3-10 membered ring; Z = CO2R7, tetrazolyl, CONR6R7, CONHNR10R11, or CH2OR7; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, acyl, (hetero)aryl, or taken together with the N to which they are attached form a 3-10 membered ring, etc.] were prepd. by std. or **combinatorial** synthetic methods involving the addn. of halobenzoic acids to haloanilines and optional redn. or amidation of the acid. For example, treatment of 2-amino-5-iodotoluene in THF with LDA in THF/heptane/ethenylbenzene soln., followed by addn. of 2,4-difluorobenzoic acid in THF afforded II. In assays against type II collagen induced arthritis in mice and monoarticular arthritis in rats, I showed potent anti-arthritic activity. I inhibited IL-1 induced stromelysin prodn. in rabbit synovial fibroblast cell cultures with IC50 from [illegible] nM to [illegible] nM. Interleukin 1-alpha stimulated cartilage degrdn. was reduced by up to [illegible] in New Zealand white rabbits upon administration of I. Thus, I are potent MEK inhibitors useful in the prevention and treatment of rheumatoid arthritis or osteoarthritis.

L4 ANSWER [illegible] OF [illegible] HCAPLUS COPYRIGHT 2001 ACS
AN [illegible] HCAPLUS
DN [illegible]
TI Preparation of [illegible] 4-bromo or 4-iodo phenylamino benzoic acid derivatives as MEK inhibitors
IN [illegible], Richard Booth
PA Warner-Lambert [illegible], USA

PATENT NO. KIND DATE APPLICATION NO. DATE
[illegible]



AB The title compds. I [wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, [illegible]; R2-R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, [illegible] or NH m- CH2)n-R9, where R9 = H, OH, CO2H, or NR10R11; m = 0 or 1; n = 1-4; R10 and R11 = H, alkyl, or taken together with the N to which they are attached form a 3-10 membered ring; Z = CO2R7, tetrazolyl, CONR6R7, C(NH)NR10R11, or CH2OR7; R6 and R7 = independently H, cycloalkyl, alkenyl, alkynyl, acyl, heteroaryl, or taken together with the N to which they are attached form a 3-10 membered ring, etc.] were prepd. by [illegible] or combinatorial synthetic methods involving the addn. of haloaromatic acids to haloanilines and optional redn. or amidation of the acid. For example, treatment of 2-amino-5-iodotoluene in THF with LDA in THF heptane/ethenylbenzene soln., followed by addn. of 2,4-difluorobenzoic acid in THF afforded II. In a mixed lymphocyte or leukocyte reaction (MLR) assay, 2- 2-chloro-4-iodophenylamino -N-cyclopropylmethoxy-3,4-difluorobenzamide (PD 184352) improved histocompatibility and gave IC50 of 280 nM. PD 184352 demonstrated potent immunosuppressive activity by causing almost total inhibition of Con A induced T cell proliferation at the highest dose tested (10.0 .mu.M) with IC50 of 340 nM. Thus, I are potent MEK inhibitors with immunosuppressive properties that are useful for preventing and controlling the rejection of transplants in mammals.

RE.CNT [illegible]
RE
(1) Bridges, A; WO 9837081 A 1998 HCAPLUS
(2) Doherty, A; WO 9901421 A 1999 HCAPLUS
(3) Doherty, A; WO 9901426 A 1999 HCAPLUS
(4) Gen Hospital Corp; WO 9934792 A 1999 HCAPLUS
(5) Manna, S; JOURNAL OF IMMUNOLOGY 1999, V162(4), P2089 HCAPLUS

AN [illegible] HCAPLUS
TI **Combinatorial** de novo synthesis of catalysts: how much is a [illegible]-structure as planned for activity?
AU Boehmer, Albrecht; Riedl, Rainer
CS Institut fuer Organische Chemie, Universitaet zu Koeln, [illegible], Koeln, [illegible]

[illegible]
[illegible]
[illegible]
[illegible]
AB American Chemical Society
[illegible]
[illegible]
[illegible]
AB The [illegible] **combinatorial** [illegible] [illegible] [illegible]
[illegible] [illegible] the [illegible] phosphite diesters. The [illegible]
[illegible] the split-mix synthesis of a peptide-encoded **library** [illegible]
[illegible] [illegible] phase-bound ligands, complexing [illegible] the ligand **library**
with metal ions, and screening of the **library** with two newly
developed assays for the detection of still phase-bound phosphodiester
cleavage activity. Artificial phosphodiesterase activity was found in the
presence of zinc and europium ions. A structure-activity anal. of two
ligand libraries revealed that the complete, polymer-bound peptide is necessary
for activity in the zinc peptide system, whereas polymer-bound histidine
was found as the crucial substructure in combination with europium.
RE.CNT [illegible]
RE
(1) Balasubramanian, P; Angew Chem Int Ed Engl 1994, V33, P1285 HCAPLUS
(2) Breslow, R; Angew Chem Int Ed 1994, V33, P1194 HCAPLUS
(3) Cox, B; Angew Chem Int Ed Engl 1992, V31, P1685 HCAPLUS
(4) Kuzuya, S; Tetrahedron Lett 1991, V32, P2545 HCAPLUS
(5) Richards, M; Angew Chem Int Ed 1989, V28, P351 HCAPLUS
AN.2 [illegible] NO AVAILABLE IN THE RE FORMAT

L44 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2001 ACS
AC [illegible] HCAPLUS
TI **Combinatorial library** synthesis of non-nucleotide
phosphorus ester oligomers as antithrombotics
IN Hunziker, Robert Gerard; Cook, Alan F.; Rudolph, Morris Jonathan; Patel,
[illegible]
PA Genelyme Corporation, USA
SO PCT Int., 41 pp., Cont.-in-part of U.S. Ser. No. 374,041.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5811538	A	19980922	US 1996-595264	19960201
	US 5919461	A	19990706	US 1996-674041	19960701
	CA 2211789	AA	19960725	CA 1996-2211789	19960118
	WO 9623814	A1	19960801	WO 1997-US1060	19970122
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9717131	A1	19970822	AU 1997-17531	19970122
	EP 881992	A1	19981202	EP 1997-903585	19970122
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11503316T	T2	19990330	JP 1997-527718	19970122
PRAI	US 1995-374141		19950118		
	US 1996-595264		19960201		
	WO 1997-US1060		19970122		

RE

[illegible] STRUCTURE DIAGRAM IS A LARGE FILE DISPLAY - AVAILABLE VIA OFFLINE PRINT [illegible]

AB A polymer having structure I wherein A can be the same or
different in each monomeric unit and each is independently selected from
the group consisting of O, S, lower alkyl, alkyl- or aryl-substituted
amino and aminoalkyl; B1 and B2 can be the same or different and are
independently selected from H, lower alkyl, a labeling group, a protecting
group, a triphenylamidate, a phospho-monoester; R, can be the same or
different in each monomeric unit, and in at least one of the
[illegible] monomeric units, R1 is independently selected from the
group consisting of a condensation product of L-a non-natural

diol attached to the N atom of a hydrophilic functionality; it is a N-alkyl
[illegible] selected from an ether, a primary or pyridinium substituted [illegible]
diol is substituted heterocyclyl; it is a N-heterocyclyl
diol attached to a hydrophilic functionality is a thiol.
diol attached to an aliph. or aromatic hydrophilic functionality;
[illegible] a **diol** attached to a ring substituted aromatic functionality
[illegible] a diol moiety attached to a non-vicinal or acyclic
diol, any of which can further include a detectable label, and a
[illegible] preferred **diols** monitor binding to the
[illegible] **diols**, acyclic **diols**, and glycols.
diols. Also, the non-cleavable dimers therein.
combinatorial library mixts. The dimermix and the
[illegible] the dimers as selective target-binding agents. The invention
An example of a simple dimeric phosphodiester which was synthesized is
[illegible] dimeric **library** selection against IL-4 and IFN-gamma.
[illegible] is reported.

RE.CNT 1

RE

(1) [illegible] US 5416167 1995 HCAPLUS

L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2001 ACS

AN [illegible] HCAPLUS

TI [illegible]
Solid phase preparation of amines

IN Marshall, John Lowe; Hermanson, Anders Gerald

PA Warner-Lambert Company, USA

SO [illegible], 15 pp.
CODEN: [illegible]

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5846579	A	19981208	US 1997-965567	19971106
	US 5902594	A	19990511	US 1998-188042	19981107
PRAI	US 1997-965567		19971106		

AB In the solid phase prepn. of an amine a **diol** is monoalkylated with a chloromethyl resin followed by reaction with N,N'-carbonyldiimidazole to afford a resin-bound tertiary-alkoxycarbonylimidazole which is N-alkylated and then sequentially treated with appropriate building blocks and reagents to afford a resin-bound amine which affords the desired amine after treatment with an acid. Thus, HOCH2CH2CMe2OH was linked to **Merrifield** resin and treated with carbonyldiimidazole to give the resin-bound alkoxycarbonylimidazole which was treated with L-leucine Me ester hydrochloride to give resin-bound HOCH2CH2CMe2OCO-L-Leu-OMe. The methylester was converted to the hydrazide, treated with PhCH2NCS and cleaved from the resin with TFA in CH2Cl2 to give the triazole I.

RE.CNT 5

RE

(1) Ahmad, US 5416167 1995 HCAPLUS
(2) Fitch; US 5463564 1995 HCAPLUS
(3) Hamlin; US 5463565 1995
(4) Ward, D; J Am Chem Soc 1966, V91, P3, Feeds HCAPLUS
Ward, D; J Org Chem 1967, V94, P, Fi196 HCAPLUS

L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2001 ACS

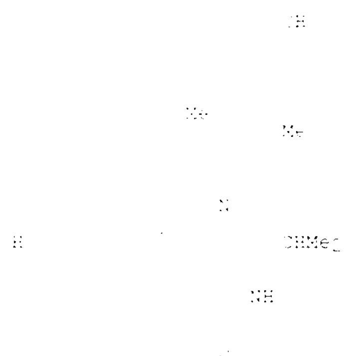
AN [illegible] HCAPLUS

TI [illegible]

[illegible] .kappa. [illegible]
piperidine

[illegible]

[illegible]
American Chemical Society
[illegible]
English



I

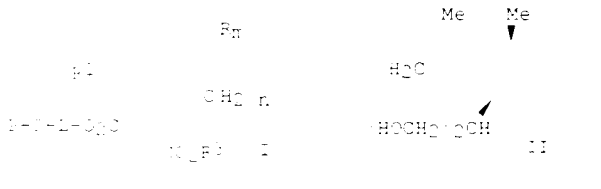
AB A three-component **library** of compds. was prepd. in parallel [illegible] multiple simultaneous soln.-phase synthetic methodol. The compds. were biased toward opioid receptor antagonist activity by incorporating [illegible] 3R,4R -dimethyl-4- 3-hydroxyphenyl **piperidine** a potent, nonselective opioid pure antagonist as one of the monomers. The other two monomers were N-substituted or unsubstituted Boc-protected amino acids and a range of substituted aryl carboxylic acids and were selected to add chem. diversity. Screening of these compds. in competitive binding expts. with the .kappa. opioid receptor selective ligand [3H]U69,593 led to the discovery of a novel .kappa. opioid receptor selective ligand, RTI-5989-29 I . Addnl. structure-activity relationship studies suggested that I possesses lipophilic and hydrogen-bonding sites that are important to its opioid receptor potency and selectivity. These sites appear to exist predominantly within the .kappa. receptor since the selectivity arises from a 530-fold loss of affinity of I for the .mu. receptor and an 18-fold increase in affinity for the .kappa. receptor relative to the .mu.-selective ligand, + -N-[trans-4-phenyl-2-butenyl]-3R,4R -dimethyl-4- 3-hydroxyphenyl **piperidine**. The degree of selectivity obsd. in the radioligand binding expts. was not obsd. in the functional assay. [illegible] to its ability to inhibit agonist stimulated binding of [illegible] at all three opioid receptors, I behaves as a [illegible] .kappa. opioid receptor pure antagonist with negligible affinity for the .delta. receptor.

[illegible]
RE
[illegible], Med Res Rev [illegible], P391 HCAPLUS
[illegible] Chem [illegible], P467 HCAPLUS
[illegible], Pharmacol Rev [illegible] HCAPLUS
[illegible] Med Chem [illegible] HCAPLUS
[illegible] Med Chem [illegible], P2642 HCAPLUS
[illegible] AVAILABLE IN THE RE FORMAT

L... ANSWER ... OF ... HCAPLUS COPYRIGHT ... ACS
AN ...
ED ...
TI ... solid-phase synthesis of cycloalkane derivatives
IN ... Marchel, Adrian; Tietze, Lutz F.
PA ... Georg-August-Universitaet Goettingen, ...
SO ... PCT Int. Appl., ... pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT ...

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE ...	A1	...	DE ...	...
CA ...	AA	...	CA ...	...
WO ...	A1	...	WO ...	...
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU ...	A1	...	AU ...	...
AU ...	B2	...		
EP ...	A1	...	EP ...	...
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, PT				
JP ...	A	...	JP ...	...
ZA ...	A	...	ZA ...	...
US ...	B1	...	US ...	...
NO ...	A	...	NO ...	...

PRAI DE ... A ...
AU ... W ...
OS MARPAT 127:... ; MARPAT 127:...
GI



AB A procedure for the solid-phase synthesis of cycloalkane derivs. I [P = solid phase support; L = (CH2)n L-10, CH2OCH2 L-10a; R1 = H, organic radical; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl; R1R1 = cycloalkene; R3 = substituted alkyl, cycloalkyl, aryl; R = one or two vicinal organic radicals; n = 0 - 4; m = 0 - (n+2)] involving condensation of P-O-L-O(CH2)2CO2R3 with R2CH2CR1:CHCHRm(CH2)nCHO followed by cyclization of P-O-L-O(CH2)2CO2CH(CH2CHR1CH:CHRmCHRnCH2) in the presence of a Lewis acid is described. Thus, iridoid precursor II was prepd. from P-O-L-O(CH2)2CO2Me via condensation with Me2C:CHCHMeCH2CH2CHO in CH2Cl2 contg. piperidinium acetate followed by cyclization in CH2Cl2 contg. InBr3 and redn. with DIBAH in PhMe.

L... ANSWER ... OF ... HCAPLUS COPYRIGHT ... ACS
AN ...
ED ...
TI Preparation of non-nucleotide phosphorus ester oligomers and their **combinatorial libraries** as selective target-binding compounds
IN ... Matteucci, Robert G.; Cook, Alan F.; Rudolph, Morris J.; Patel, Prem
PA Pharmagenics, Inc., USA
SO PCT Int. Appl., ... pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT ...

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

[illegible]	[illegible]	A1	[illegible]	[illegible]	[illegible]

W: AL, DA, Y
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IL, LU, MC, NL, PT, SE
[illegible] A1 [illegible] [illegible] [illegible]
[illegible] A1 [illegible] AU [illegible] [illegible]
[illegible] A1 [illegible] BR [illegible] [illegible]
A1 AL, BB, BH, CE, CF, CG, CH, CM, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SN, TD, TG
[illegible] A [illegible] JP [illegible] [illegible]
[illegible]
[illegible]
[illegible]

AB A polymer oligomer is claimed having two monomeric units [illegible] A (B1,nB2)A = same or different in each monomeric unit, independently selected from O, S, lower alkyl, NH, substituted alkylamino, NH substituted arylamino and aminoalkyl; B1 and B2 = same or different, independently selected from H, lower alkyl, a labeling group, a protecting group, a phosphoramidate or a phospho-monoester; B1 can be the same or different in each monomeric unit, and in at least one of the non-nucleotide monomeric units, B1 is independently selected from a condensation product of i) a non-vicinal **diol** attached to an H-bond acceptor functionality; ii) an H-bond acceptor selected from an ether, a purine or pyrimidine substituted 1,2-**diol** or a N-substituted heterocycle; iii) a non-vicinal **diol** attached to a hydrophobic functionality or a vicinal **diol** attached to an aryl or alicyclic hydrophobic functionality; iv) a **diol** attached to a ring substituted anionic functionality and v) a cationic moiety attached to a non-vicinal or alicyclic **diol**, any of which may further include a detectable label; n = [illegible]. Preferred B-B linkages include condensation products of heterocyclic **diols**, alicyclic **diols**, and polycyclic **diols**. The non-nucleotide monomers thereof, **combinatorial library** mixts. of the oligomers and the use of the oligomers as selective target-binding compds. are claimed. In an example, when a **library** of non-nucleotide phosphorus ester oligomers is screened against thrombin, a subpopulation (0.001-0.01%) of the original **library** binds to the target, with an apparent Kd < 100-500 nM.

L14 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2001 ACS
AN [illegible] HCAPLUS
TI [illegible]
TI Solid-phase preparation of encoded **combinatorial** dipeptide hydroxypropylamine **libraries**
IN Baldwin, John J.; Henderson, Ian; Waksmunski, Frank S.
PA Pharmacopeia, Inc., USA
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO [illegible]	A1	19970731	WO 1997-US1016	19970123

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
[illegible] A [illegible] UA [illegible] [illegible]
[illegible] AA [illegible] ZA [illegible] [illegible]
AU [illegible] A1 [illegible] AU [illegible] [illegible]
AU [illegible] B2 [illegible]
EP [illegible] A1 [illegible] EP [illegible] [illegible]
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
[illegible] [illegible] [illegible] JP [illegible] [illegible]

...

...

III

AB A **combinatorial library** I or II (S = solid support; L-L = 13-atom linker residue; L1 = linker residue; q = 1-10; III = Aa1-Aa2-CHArl-CH2CH(OH)CH2NRl; Aa1, Aa2 = each amino acids joined by amide linkus; Aa1 cannot contain a linear chain of 3, 4, or 5 atoms which sep. the carboxyl carbonyl from the amino group of Aa1; Aa2 cannot be an alpha.-amino acid; Ar1 = aryl, heterocaryl; CH2Ar1 is attached to the N of Aa2; R1 = H, C1-20 alkyl, alkenyl, alkynyl, aryl, heteroaryl, substituted aryl or heteroaryl, aryl or heteroaryl fused to a 5- or 6-membered moiety to form a nonarom. second ring, substituted C1-20 alkyl, alkenyl, or alkynyl; X = O, N-lower alkyl, S, SO, SO2; the residue Aa1 is attached to L1 via its carboxyl and to Aa2 by its amino group) is disclosed. Thus, identifiers III (n = 3-12, Ar = C6H5; n = 4-6, Ar = C6H3Cl3-2,4,6) were prepd. by Mitsunobu reaction of Me vanillate and the corresponding aryloxy-substituted alk. HO(CH2)nOAr, followed by sapon., acid chlorination, and diazoketone formation with either CH2N2 or Me3SiCHN2. Seven N-9-fluorenylmethoxycarbonyl (Fmoc)-substituted amino acids were sep. esterified to TentaGel.RTM.S PHB resin with diisopropylcarbodiimide, followed by encoding with 0.5 to 15% by mass of a linker-diazoketone reagent III in the presence of rhodium trifluoroacetate. Each of the seven resin batches was encoded by one or more linker-diazoketones III to produce an appropriate binary code. After encoding, the seven resin batches were combined and mixed to homogeneity, filtered, dried, and divided into 15 equal batches. Each batch was sep. deblocked with **piperidine**, coupled with another Fmoc-amino acid deriv., and encoded as above. The batches were again combined, re-divided into 91 batches, encoded as above, deprotected, and subjected to reductive alkylation with arom. aldehydes. The resin batches were then combined and re-divided into 91 equal batches and subjected to ring opening reactions with 91 epoxides to give the requisite resin-bound hydroxypropylamine dipeptide derivs. The individual beads can be decoded by oxidative cleavage with cerric ammonium nitrate and GC anal. using electron capture detection.

L14 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2001 ACS
AN ...:HCAPLUS
DN ...
TI Isoquinoline derivatives as biologically active compounds and isoquinoline **combinatorial libraries**
IN Pirrung, Michael C.; Griffith, Michael J.
PA Trustees Of Boston University, USA
SO PCT Int. Appl., ... pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
WO ... A1 ... WO ... ...
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK,

...ntains. Alkanethiol self-assembled monolayers, prepared from ...
...and thiol, sulfide, and disulfide end groups, on gold surfaces, ...
...chromatographic and final purities. Soft lithographic ...
...techniques of the invention yield and without deleterious reactivity ...
...interactions. These bind synthesis of a with any acid, amine, or thiol ...
...hydrophilic PEGM, followed by further functionalization with amine, amines, or ...
...thiols PEGM, peptide-thiol, and acylation with PEGM-NH2 novel ...
combinatorial libraries PEGM-CH2CH2N CH2 CH2CONH2.
Combinatorial libraries are made with II design similar ...
...histidine.

L44 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2001 ACS
AN ...:...:... HCAPLUS
DN ...:...
TI ... phase polyamine linkers - their utility in synthesis and the preparation of ... **libraries** against trypanothione ...
...ctase
AU Baker, Ian R.; Smith, Helen; Bradley, Mark
CS Dept. Chemistry, Southampton Univ., Highfield, Southampton, SO17 1BJ, UK
SO Chem. Commun. (Cambridge) 1999, 9, 841-842
CODEN: CHCOFS; ISSN: 1359-7345
DT Journal
LA English
AB A variety of di-protected polyamines were anchored to a solid support and ... used in solid phase chem. and **library** generation of trypanothione reductase inhibitors.

L44 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2001 ACS
AN ...:...:... HCAPLUS
DN ...:...:...
TI Rapid optimization of organic reactions on solid phase using the multipin approach: synthesis of 4-aminoproline analogs by reductive amination
AU Bray, Andrew M.; Chiefari, Debra S.; Valerio, Robert M.; Maeji, N. Joe
CS Chiron Mimotopes Pty. Ltd., Clayton, 3168, Australia
SO Tetrahedron Lett. 1995, 36(26), 5061-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 123:340797
AB The multipin method of multiple solid phase synthesis was used in conjunction with **high throughput** characterization methods (ion spray mass spectrometry and HPLC) to optimize reactions rapidly for solid phase synthesis. The approach is demonstrated in the synthesis of 4-aminoproline analogs by reductive amination under a wide range of conditions, using a diverse set of amines.

L44 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2001 ACS
AN ...:...:... HCAPLUS
DN ...:...:...
TI Polymeric activated esters of 3,4-**dihydroxy**-2,5-diphenylthiophene 1,1-di-oxide
IN Steglich, Wolfgang; Hollitzer, Oswald; Seewald, Alfred
PA BASF A.-G., Fed. Rep. Ger.
SO Ger., 21 pp. Division of Ger. Appl. No. 279,418.
CODEN: GAXXA4
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE ...	A2	...1100	DE ...-...	...0407
	DE ...	A1	...1222	DE ...-...	...0104
	DE ...	C	...1114		
	DE ...	A1	...1104	DE ...-...	...0103
PRAI	DE ...-...		...0405		
	DE ...-...		...0331		

III

AB Heterocyclic compds. I (X = O, S, CO, CHOH; X1 = O, S, CO) bound to polymers via the In group were prepd. as reagents for the synthesis of peptides and amides. Thus, chloromethylated **Merrifield** resin (resin-C6H4CH2Cl) was treated with PhCH2SH to give resin-C6H4CH2SCH2Ph, which was oxidized by HClO to give resin-C6H4CH2SO2CH2Ph, which was cyclized with CH2(CO2Et)2 in EtOH contg. Na to give thiophene II (P = resin, P1 = H), which was esterified with COCl2 to give cyclic carbonate III (P = resin) (IV). IV was treated with Z-Val-OH (Z = PhCH2OCO) to give active ester II (P = resin, P1 = Z-Val), which was coupled with H-Val-OMe to give Z-Val-Val-OMe. II (P = R1 = H) was esterified with COCl2 to give III (P = H), which was treated with N-protected amino acids, e.g. MeO2CCH2O-Pro-OH, to give the corresponding active esters, e.g. II (P = H, P1 = MeO2CCH2O-Pro). The latter active esters were used in a series of peptide couplings in soln.